

10634162

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(FILE 'HOME' ENTERED AT 10:28:34 ON 02 JUL 2004)

FILE 'REGISTRY' ENTERED AT 10:28:47 ON 02 JUL 2004

L1           STRUCTURE uploaded  
L2         6 S L1  
L3         STRUCTURE uploaded  
L4         1 S L3  
L5         STRUCTURE uploaded  
L6         1 S L5  
L7         STRUCTURE uploaded  
L8         50 S L7  
L9         STRUCTURE uploaded  
L10        41 S L9  
L11        STRUCTURE uploaded  
L12        14 S L11  
L13       299 S L11 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:27:22 ON 02 JUL 2004

L14       69 S L13  
L15       41 S L14 AND PATENT/DT  
L16       9 S L15 AND THIENOPYRIDI?  
          E WILSON MICHAEL WILLIAM/IN  
L17       21 S E2-E4  
L18       0 S L17 AND L14  
L19       0 S L17 AND L15  
L20       5 S L17 AND BICYCLIC  
L21       5 S L20 AND METALLOPROTEINASE  
          SELECT L21 5 RN

FILE 'REGISTRY' ENTERED AT 11:35:21 ON 02 JUL 2004

L22       56 S E1-E56  
L23       STRUCTURE uploaded  
L24       0 S L23 SUB=L13 SAMPLE  
L25       0 S L23  
L26       1 S L23 SSS FULL SUB=L13

FILE 'CAPLUS' ENTERED AT 11:40:22 ON 02 JUL 2004

L27       1 S L26  
L28       STRUCTURE uploaded  
          S L28

FILE 'REGISTRY' ENTERED AT 11:53:09 ON 02 JUL 2004

L29       5 S L28

FILE 'CAPLUS' ENTERED AT 11:53:10 ON 02 JUL 2004

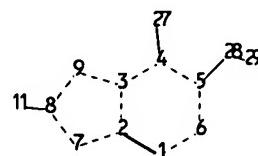
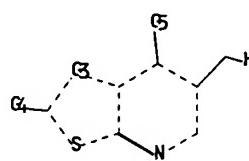
L30       4 S L29

FILE 'REGISTRY' ENTERED AT 11:53:33 ON 02 JUL 2004

L31       86 S L28 SSS FULL

FILE 'CAPLUS' ENTERED AT 11:55:07 ON 02 JUL 2004

L32       22 S L31



chain nodes :

11 14 15 16 17 18 19 20 25 27 28 29

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

4-27 5-28 8-11 14-15 14-18 16-17 17-19 20-25 28-29

ring bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 5-6 7-8 8-9

exact/norm bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 4-27 5-6 5-28 7-8 8-9 8-11 14-15 14-18 16-17  
17-19 20-25 28-29

isolated ring systems :

containing 1 :

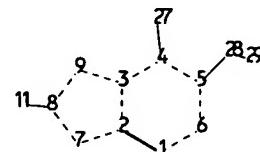
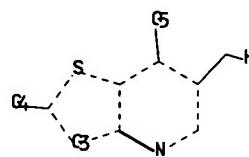
G3 : C, S, N

G4 : CH3, Et, n-Pr, Cy, [\*1], [\*2], [\*3]

G5 : C, O, H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS  
15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 25:CLASS 27:CLASS 28:CLASS  
29:CLASS



a18

a16

a20

chain nodes :  
 11 14 15 16 17 18 19 20 25 27 28 29  
 ring nodes :  
 1 2 3 4 5 6 7 8 9  
 chain bonds :  
 4-27 5-28 8-11 14-15 14-18 16-17 17-19 20-25 28-29  
 ring bonds :  
 1-6 1-2 2-7 2-3 3-9 3-4 4-5 5-6 7-8 8-9  
 exact/norm bonds :  
 1-6 1-2 2-7 2-3 3-9 3-4 4-5 4-27 5-6 5-28 7-8 8-9 8-11 14-15 14-18 16-17  
 17-19 20-25 28-29  
 isolated ring systems :  
 containing 1 :

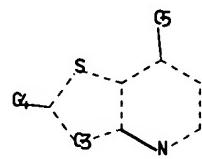
G3:C,S,N

G4:CH3,Et,n-Pr,Cy,[\*1],[\*2],[\*3]

G5:C,O,H

Match level :

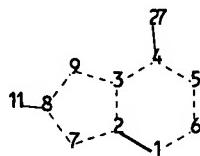
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS  
 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 25:CLASS 27:CLASS 28:CLASS  
 29:CLASS



$\text{a}^1\text{N}$

$\text{O}$   
 $\text{Na}^2$

$\text{a}^3$



$\text{a}^1\text{B}$

$\text{a}^1\text{B}$

$\text{a}^3\text{B}$

chain nodes :

11 14 15 16 17 18 19 20 25 27

ring nodes :

1 2 3 4 5 6 7 8 9

chain bonds :

4-27 8-11 14-15 14-18 16-17 17-19 20-25

ring bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 5-6 7-8 8-9

exact/norm bonds :

1-6 1-2 2-7 2-3 3-9 3-4 4-5 4-27 5-6 7-8 8-9 8-11 14-15 14-18 16-17 17-19  
20-25

isolated ring systems :

containing 1 :

G3:C,S,N

G4:CH3,Et,n-Pr,Cy,[\*1],[\*2],[\*3]

G5:C,O,H

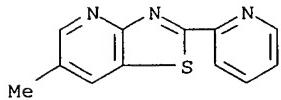
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 11:CLASS 14:CLASS  
15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 25:CLASS 27:CLASS

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> d bib abs hitstr

L27 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1975:547414 CAPLUS  
DN 83:147414  
TI Heterocycles. CXXVII. Action of sulfur on some heterocyclic compounds.  
Formation of thioamides, oxidative cyclization and thiation  
AU Kramberger, L.; Lorencak, P.; Polanc, S.; Vercek, B.; Stanovnik, B.;  
Tisler, M.; Povazanec, F.  
CS Dep. Chem., Univ. Ljubljana, Ljubljana, Yugoslavia  
SO Journal of Heterocyclic Chemistry (1975), 12(2), 337-42  
CODEN: JHTCAD; ISSN: 0022-152X  
DT Journal  
LA English  
OS CASREACT 83:147414  
GI For diagram(s), see printed CA Issue.  
AB The formation of heterocyclic thioamides from alkylpyridines, heteroarom.  
amines and sulfur was investigated. Oxidative cyclization of these  
thioamides afforded the corresponding thiazoloazines. Attempted thiation  
of some hydrazones gave triazolopyridines and some examples of direct  
thiation of heterocycles are given. Thus, 2-methylpyridine, 5, and RNH<sub>2</sub>  
gave 10 I (R = 3-pyridyl, 4-pyridyl, 4-methyl-2-pyridyl,  
5-methyl-2-pyridyl, 2-pyridazyl, 2-pyrazyl, 2-triazolyl, 5-tetrazolyl,  
2-benzothiazolyl, anilino). Oxidative cyclization of I (R =  
5-methyl-2-pyridyl) gave II. Attempted thiation of III gave IV and  
thiation of 2-(N-2-pyridylformimidoyl)pyridine gave I (R = 2-pyridyl).  
IT 57036-77-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 57036-77-8 CAPLUS  
CN Thiazolo[4,5-b]pyridine, 6-methyl-2-(2-pyridinyl)- (9CI) (CA INDEX NAME)



10634162

=> d 1-22 bib abs hitstr

L32 ANSWER 1 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:142958 CAPLUS  
DN 140:193096  
TI Fused bicyclic metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use  
IN Wilson, Michael William  
PA Warner-Lambert Company LLC, USA  
SO PCT Int. Appl., 102 pp.  
CODEN: PIXXD2

DT Patent  
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004014375	A2	20040219	WO 2003-IB3523	20030804
	WO 2004014375	A3	20040603		

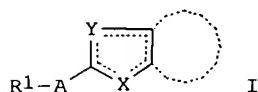
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CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG

PRAI US 2002-403008P P 20020813

OS MARPAT 140:193096

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*this app*



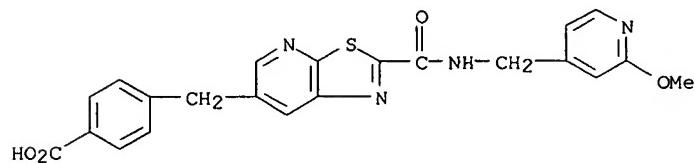
AB The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines = optional double bonds; B = substituted pyridinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer and other disorders.

IT 660815-88-3 660815-89-4 660815-90-7  
660815-91-8 660815-92-9 660815-93-0  
660816-11-5 660816-12-6 660816-13-7  
660816-14-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(fused bicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

RN 660815-88-3 CAPLUS

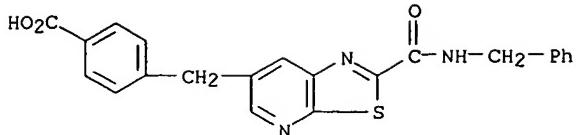
CN Benzoic acid, 4-[[2-[[[(2-methoxy-4-pyridinyl)methyl]amino]carbonyl]thiazolo[5,4-b]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)



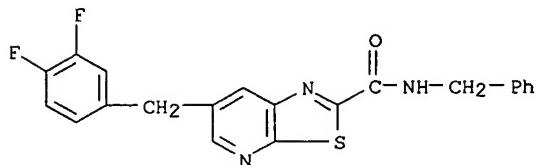
RN 660815-89-4 CAPLUS

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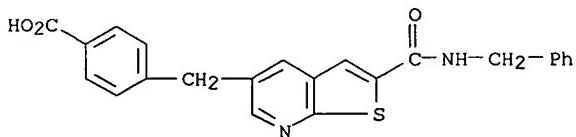
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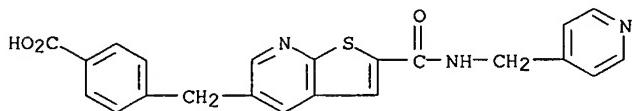
RN 660815-90-7 CAPLUS  
CN Thiazolo[5,4-b]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



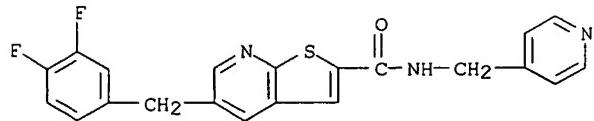
RN 660815-91-8 CAPLUS  
CN Benzoic acid, 4-[[2-[(phenylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl- (9CI) (CA INDEX NAME)



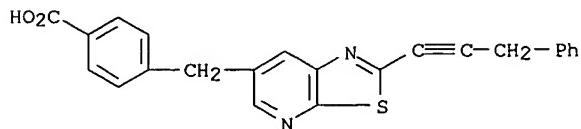
RN 660815-92-9 CAPLUS  
CN Benzoic acid, 4-[[2-[(4-pyridinylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl- (9CI) (CA INDEX NAME)



RN 660815-93-0 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 5-[(3,4-difluorophenyl)methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)

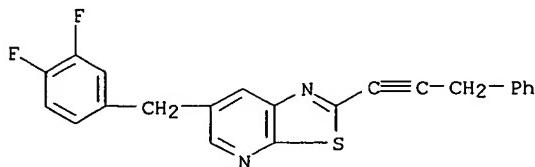


RN 660816-11-5 CAPLUS  
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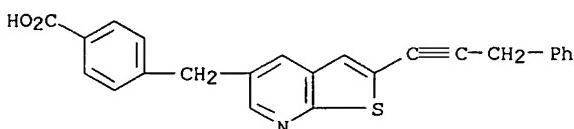


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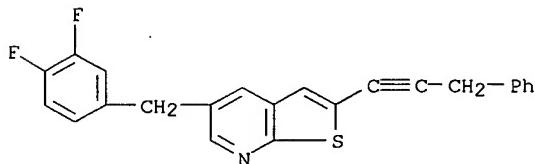
RN 660816-12-6 CAPLUS  
CN Thiazolo[5,4-b]pyridine, 6-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



RN 660816-13-7 CAPLUS  
CN Benzoic acid, 4-[(2-(3-phenyl-1-propynyl)thieno[2,3-b]pyridin-5-yl)methyl]- (9CI) (CA INDEX NAME)

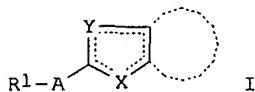


RN 660816-14-8 CAPLUS  
CN Thieno[2,3-b]pyridine, 5-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 2 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2004:142808 CAPLUS  
DN 140:193094  
TI Fused bicyclic metalloproteinase inhibitors, pharmaceutical compositions, and therapeutic use  
IN Wilson, Michael William  
PA USA  
SO U.S. Pat. Appl. Publ., 41 pp.  
CODEN: USXXCO  
DT Patent  
LA English  
FAN.CNT 2  
PATENT NO. KIND DATE APPLICATION NO. DATE  
----- -----  
PI US 2004034054 A1 20040219 US 2003-634162 20030805  
PRAI US 2002-403008P P 20020813  
OS MARPAT 140:193094  
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AB The invention discloses fused bicyclic metalloproteinase inhibitors I [A = C2-6 alkynyl, bond, etc.; X, Y = O, S, etc. (with proviso); dashed lines =

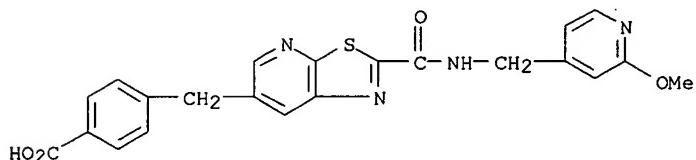
optional double bonds; B = substituted pyridinyl; R1 = C1-6 alkyl, C2-6 alkenyl, etc.], as well as pharmaceutical compns. and methods of treating arthritis, inflammation, cancer, and other disorders.

IT 660815-88-3 660815-89-4 660815-90-7  
 660815-91-8 660815-92-9 660815-93-0  
 660816-11-5 660816-12-6 660816-13-7  
 660816-14-8

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (fused bicyclic metalloproteinase inhibitors, pharmaceutical compns., and therapeutic use)

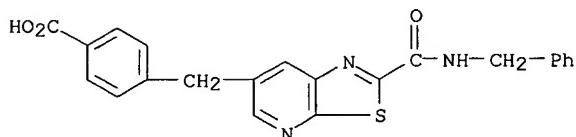
RN 660815-88-3 CAPLUS

CN Benzoic acid, 4-[2-[[[(2-methoxy-4-pyridinyl)methyl]amino]carbonyl]thiazolo[5,4-b]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)



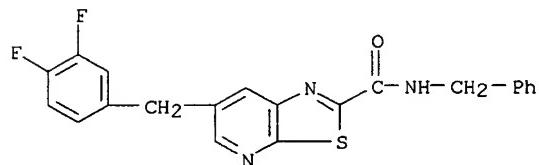
RN 660815-89-4 CAPLUS

CN Benzoic acid, 4-[2-[[[(phenylmethyl)amino]carbonyl]thiazolo[5,4-b]pyridin-6-yl]methyl]- (9CI) (CA INDEX NAME)



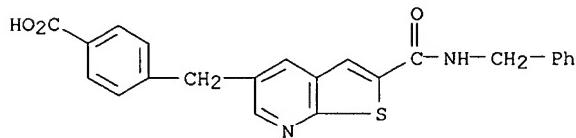
RN 660815-90-7 CAPLUS

CN Thiazolo[5,4-b]pyridine-2-carboxamide, 6-[(3,4-difluorophenyl)methyl]-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 660815-91-8 CAPLUS

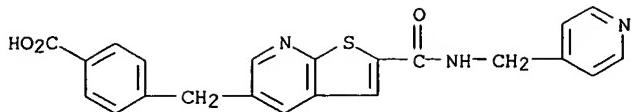
CN Benzoic acid, 4-[2-[[[(phenylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl]- (9CI) (CA INDEX NAME)



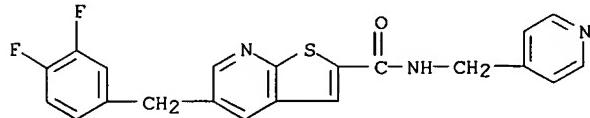
RN 660815-92-9 CAPLUS

CN Benzoic acid, 4-[2-[[[(4-pyridinylmethyl)amino]carbonyl]thieno[2,3-b]pyridin-5-yl]methyl]- (9CI) (CA INDEX NAME)

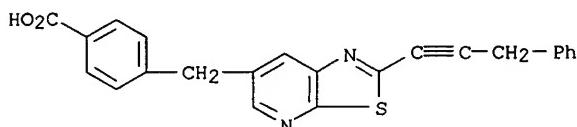
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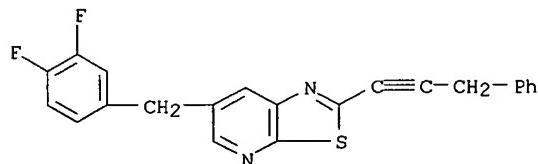
RN 660815-93-0 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 5-[(3,4-difluorophenyl)methyl]-N-(4-pyridinylmethyl)- (9CI) (CA INDEX NAME)



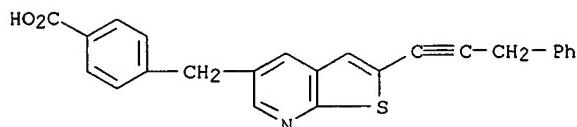
RN 660816-11-5 CAPLUS  
CN Benzoic acid, 4-[(2-(3-phenyl-1-propynyl)thiazolo[5,4-b]pyridin-6-yl)methyl]- (9CI) (CA INDEX NAME)



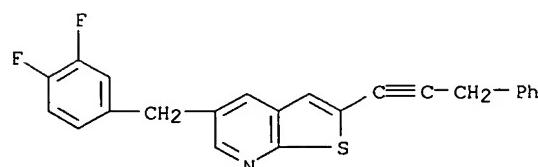
RN 660816-12-6 CAPLUS  
CN Thiazolo[5,4-b]pyridine, 6-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



RN 660816-13-7 CAPLUS  
CN Benzoic acid, 4-[(2-(3-phenyl-1-propynyl)thieno[2,3-b]pyridin-5-yl)methyl]- (9CI) (CA INDEX NAME)

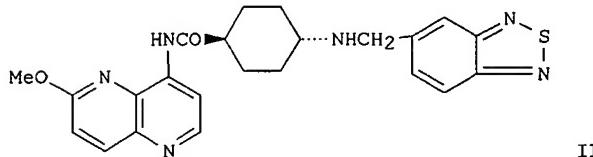
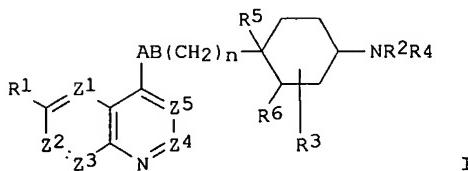


RN 660816-14-8 CAPLUS  
CN Thieno[2,3-b]pyridine, 5-[(3,4-difluorophenyl)methyl]-2-(3-phenyl-1-propynyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 3 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 2003:837084 CAPLUS  
 DN 139:337959  
 TI Preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials  
 IN Brooks, Gerald; Davies, David Thomas; Jones, Graham Elgin; Markwell, Roger Edward; Pearson, Neil David  
 PA Smithkline Beecham P.L.C., UK  
 SO PCT Int. Appl., 163 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003087098	A1	20031023	WO 2002-EP5708	20020524
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	WO 2002-EP5708	W	20020524		
OS	MARPAT 139:337959				
GI					



AB Naphthyridines I [one of Z1-Z5 = N, one = (un)substituted Ch, the others = CH; one of Z1-Z5 = (un)substituted Ch, the others = CH; R1 = H, OH, halogen, (un)substituted alkoxy, alkyl, alkylthio, CF<sub>3</sub>, NO<sub>2</sub>, N<sub>3</sub>, acyl, acyloxy, acylthio, alkylsulfonyl, alkylsulfinyl, arylsulfonyl, arylsulfinyl, amino; R2 = H, (un)substituted alkyl, alkenyl; R3 = H, CO<sub>2</sub>H, alkoxy carbonyl, (un)substituted alkyl, CONH<sub>2</sub>, CN, tetrazolyl, 2-oxooxazolidinyl, 3-hydroxy-3-cyclobutene-1,2-dion-4-yl, 2,4-thiazolidinedion-5-yl, 1,2,4-triazol-5-yl, 5-oxo-1,2,4-oxadiazol-3-yl; R4 = (un)substituted alkyl, heterocyclic; R5, R6 = H; R5R6 = bond; AB = (un)substituted CONH, NHCO, COCH<sub>2</sub>, CH<sub>2</sub>CO, OCH<sub>2</sub>, CH<sub>2</sub>O, NHCH<sub>2</sub>, CH<sub>2</sub>NH, NHSO<sub>2</sub>, CH<sub>2</sub>SO<sub>2</sub>, CH<sub>2</sub>CH<sub>2</sub>; n = 0, 1] were prepared for use as bactericides. Thus, 2,1,3-benzothiadiazole-5-carboxylic acid was reduced to the alc., mesylated, and treated with the amine fragment, prepared from 5-amino-2-methoxypyridine in 5 steps, to give the naphthyridine II, which had IC<sub>50</sub> against *Staphylococcus aureus* Oxford, several *S. pneumoniae* strains, and *Escherichia coli* strains of ≤ 4 µg/mL.

IT 615567-85-6P

10634162

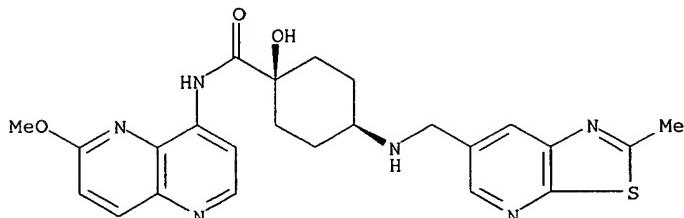
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of nitrogen-containing bicyclic heterocycles for use as antibacterials)

RN 615567-85-6 CAPLUS

CN Cyclohexanecarboxamide, 1-hydroxy-N-(6-methoxy-1,5-naphthyridin-4-yl)-4-[(2-methylthiazolo[5,4-b]pyridin-6-yl)methyl]amino-, dihydrochloride, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



●2 HCl

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L32 ANSWER 4 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:416457 CAPLUS

DN 139:197453

TI Synthesis of new pyrido[3',2':4,5]thieno[2,3-e]pyrrolo[1,2- $\alpha$ ]pyrazines

AU Aadil, Mina; Kirsch, Gilbert

CS Laboratoire de Chimie Bioorganique et Analytique, Faculte des Sciences et Techniques, Mohammedia, Morocco

SO Heterocyclic Communications (2003), 9(2), 123-127

CODEN: HCOMEX; ISSN: 0793-0283

PB Freund Publishing House Ltd.

DT Journal

LA English

OS CASREACT 139:197453

AB The aim of the present paper is to describe the synthesis of several unknown polyfused heterocycles containing the pyrazine ring. Novel pyrido[3',2':4,5]thieno[2,3-e]pyrrolo[1,2-a]pyrazines derivs. have been synthesized starting from Me 3-amino thieno[2,3-b] pyridines carboxylates via a Curtius rearrangement.

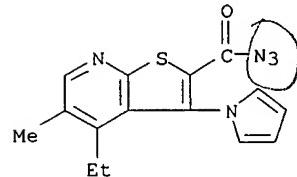
IT 582289-74-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrido[3',2':4,5]thieno[2,3-e]pyrrolo[1,2-a]pyrazines via Curtius rearrangement of Me 3-amino-thieno[2,3-b]pyridines carboxylates)

RN 582289-74-5 CAPLUS

CN Thieno[2,3-b]pyridine-2-carbonyl azide, 4-ethyl-5-methyl-3-(1H-pyrrol-1-yl)- (9CI) (CA INDEX NAME)



RE.CNT 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

10634162

L32 ANSWER 5 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2002:264873 CAPLUS

DN 136:304061

TI Bicyclic thiophenes and pharmaceutical compositions containing them as TNF- $\alpha$  formation inhibitors

IN Fujita, Shoichi; Hirayama, Tetsuya; Kawahara, Yoshikazu

PA Nikken Chemicals Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 15 pp.

CODEN: JKXXAF

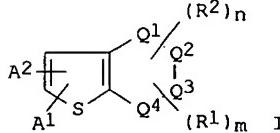
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2002105081	A2	20020410	JP 2001-224397	20010725
PRAI	JP 2000-229195	A	20000728		
OS	MARPAT 136:304061				

GI



AB The compns., useful for prevention and treatment of inflammation, etc., contain bicyclic thiophenes I [A1 = (un)substituted N-containing heteroaryl; A2 = (un)substituted aryl, (un)substituted cycloalkyl; R1, R2 = (un)substituted lower alkyl, (un)substituted acyl(oxy), etc.; m, n = 0-2; Q1-Q4 = C, CH, CH<sub>2</sub>, CO, O, N, NH; 1 or 2 of Q1-Q4 = N, NH], their stereoisomers, or salts. 3-Aminocarbonyl-4-(4-fluorophenyl)-5-(4-pyridyl)-2-thiopheneamine was condensed with acetyl chloride and cyclized to give 5-(4-fluorophenyl)-2-methyl-6-(4-pyridyl)thieno[2,3-d]pyrimidin-4(3H)-one, which was orally administered to rats at 50 mg/kg to show 94.0% inhibition of TNF- $\alpha$  formation.

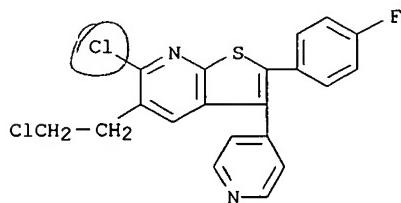
IT 409059-52-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pharmaceuticals containing bicyclic thiophenes as TNF- $\alpha$  formation inhibitors)

RN 409059-52-5 CAPLUS

CN Thieno[2,3-b]pyridine, 6-chloro-5-(2-chloroethyl)-2-(4-fluorophenyl)-3-(4-pyridinyl)-(9CI) (CA INDEX NAME)



L32 ANSWER 6 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1997:740125 CAPLUS

DN 128:16433

TI Preparation of thienopyridinones as GNRH agonists and antagonists

IN Suzuki, Nobuhiro; Furuya, Shuichi

PA Furuya, Shuichi, Japan; Takeda Chemical Industries, Ltd.; Suzuki, Nobuhiro

SO PCT Int. Appl., 285 pp.

CODEN: PIXXD2

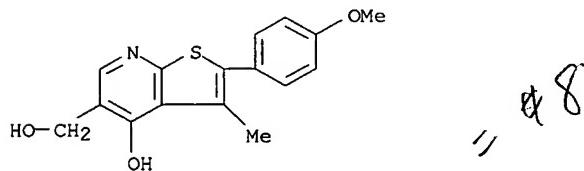
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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 PI WO 9740846 A1 19971106 WO 1997-JP1459 19970425  
 W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU,  
 IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO,  
 NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU,  
 AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
 RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB,  
 GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN,  
 ML, MR, NE, SN, TD, TG  
 CA 2250908 AA 19971106 CA 1997-2250908 19970425  
 AU 9724079 A1 19971119 AU 1997-24079 19970425  
 JP 10045625 A2 19980217 JP 1997-108713 19970425  
 EP 906115 A1 19990407 EP 1997-919703 19970425  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
 IE, FI  
 US 6015789 A 20000118 US 1997-894317 19970814  
 PRAI JP 1996-109790 19960430  
 JP 1996-138873 19960531  
 WO 1997-JP1459 19970425  
 OS MARPAT 128:16433  
 AB The present invention relates to a pharmaceutical comprising a LH  
 releasing hormone agonist in combination with a LH releasing hormone  
 antagonist. By using a LH releasing hormone agonist and a LH releasing  
 hormone antagonist in combination, the transient exacerbation with  
 elevation of serum testosterone and estrogen owing to the  
 pituitary-gonadotropic action (acute action) manifested immediately  
 following an initial dose of the LH releasing hormone agonist can be  
 successfully obviated. The synthesis of the title compds. and their  
 activity are described.  
 IT 174072-83-4  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of condensed bicyclic LHRH antagonists and use in combination  
 with LHRH active peptides)  
 RN 174072-83-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl-  
 (9CI) (CA INDEX NAME)

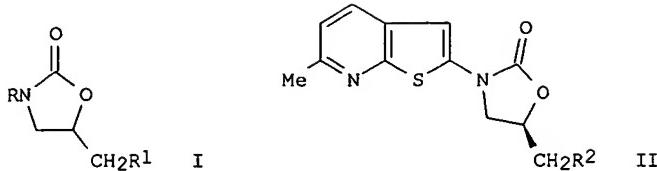


L32 ANSWER 7 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:552637 CAPLUS  
 DN 127:149139  
 TI Preparation of bactericidal pyridothenyl- and pyridofuryloxazolidinones  
 IN Riedl, Bernd; Haebich, Dieter; Stolle, Andreas; Ruppelt, Martin; Bartel,  
 Stefan; Guarnieri, Walter; Endermann, Rainer; Kroll, Hein-Peter  
 PA Bayer A.-G., Germany  
 SO Ger. Offen., 28 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 19601264	A1	19970717	DE 1996-19601264	19960116
	EP 785200	A2	19970723	EP 1997-100025	19970103
	EP 785200	A3	19990210		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AU 9710098	A1	19970724	AU 1997-10098	19970109
	US 5827857	A	19981027	US 1997-781001	19970109
	CA 2194938	AA	19970717	CA 1997-2194938	19970113
	JP 09194482	A2	19970729	JP 1997-17559	19970114
	NO 9700175	A	19970717	NO 1997-175	19970115
	ZA 9700303	A	19970717	ZA 1997-303	19970115
	CN 1161968	A	19971015	CN 1997-101806	19970116
	BR 9700702	A	19980901	BR 1997-702	19970116

10634162

PRAI DE 1996-19601264 A 19960116  
OS MARPAT 127:149139  
GI



AB Title compds. I [R = pyridothienyl, pyridofuryl; R<sub>1</sub> = N3, (un)substituted OH, NH<sub>2</sub>] were prepared Thus, 2-chloro-6-methylpyridine-3-carbonitrile was treated with HSCH<sub>2</sub>CO<sub>2</sub>Me to give Me 3-amino-6-methylthieno[2,3-b]pyridine-2-carboxylate, which was deaminated, and converted to the 2-butoxycarbonylamino derivative via the acid azide. 2-Butoxycarbonylamino-6-methylthieno[2,3-b]pyridine was cyclized with (R)-glycidyl butyrate to give the oxazolidinone II [R<sub>2</sub> = OH]. This was converted to II [R<sub>2</sub> = NHCSMe] which had min. inhibitory concns. against several staphylococcus strains of 2 µg/mL.

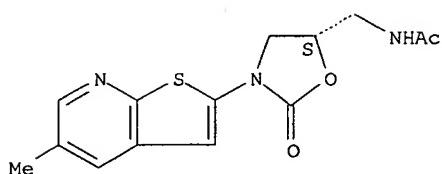
IT 193400-72-5P 193400-77-0P 193400-78-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of bactericidal pyridothienyl- and pyridofuryloxazolidinones)

RN 193400-72-5 CAPLUS

CN Acetamide, N-[{3-(5-methylthieno[2,3-b]pyridin-2-yl)-2-oxo-5-oxazolidinyl}methyl]-, (S)- (9CI) (CA INDEX NAME)

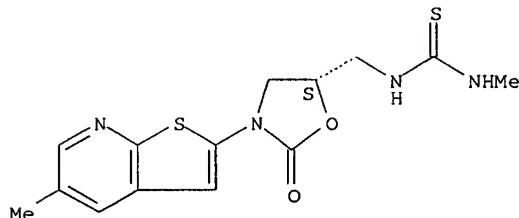
Absolute stereochemistry.



RN 193400-77-0 CAPLUS

CN Thiourea, N-methyl-N'-[{3-(5-methylthieno[2,3-b]pyridin-2-yl)-2-oxo-5-oxazolidinyl}methyl]-, (S)- (9CI) (CA INDEX NAME)

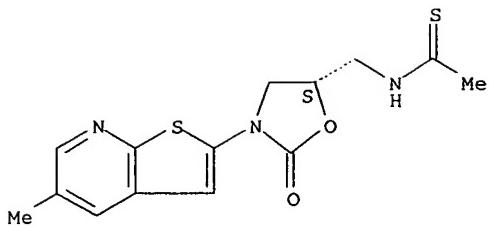
Absolute stereochemistry.



RN 193400-78-1 CAPLUS

CN Ethanethioamide, N-[{3-(5-methylthieno[2,3-b]pyridin-2-yl)-2-oxo-5-oxazolidinyl}methyl]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



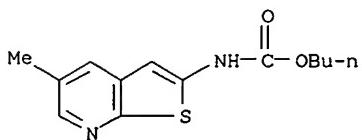
IT 193400-59-8P 193400-62-3P 193400-66-7P  
 193400-69-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of bactericidal pyridothenyl- and pyridofuryloxaazolidinones)

RN 193400-59-8 CAPLUS

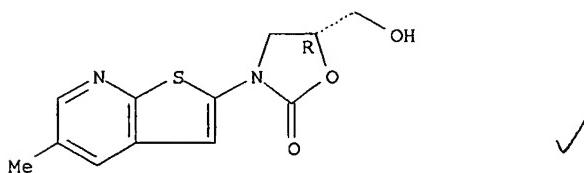
CN Carbamic acid, (5-methylthieno[2,3-b]pyridin-2-yl)-, butyl ester (9CI)  
 (CA INDEX NAME)



RN 193400-62-3 CAPLUS

CN 2-Oxazolidinone, 5-(hydroxymethyl)-3-(5-methylthieno[2,3-b]pyridin-2-yl)-,  
 (R)- (9CI) (CA INDEX NAME)

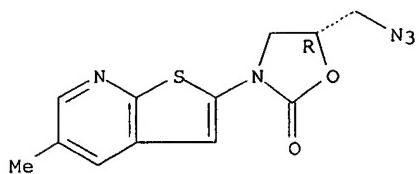
Absolute stereochemistry.



RN 193400-66-7 CAPLUS

CN 2-Oxazolidinone, 5-(azidomethyl)-3-(5-methylthieno[2,3-b]pyridin-2-yl)-,  
 (R)- (9CI) (CA INDEX NAME)

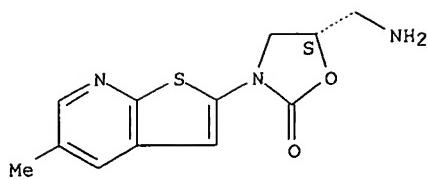
Absolute stereochemistry.



RN 193400-69-0 CAPLUS

CN 2-Oxazolidinone, 5-(aminomethyl)-3-(5-methylthieno[2,3-b]pyridin-2-yl)-,  
 monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

L32 ANSWER 8 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:528659 CAPLUS  
 DN 127:135807  
 TI Preparation of condensed bicyclic compounds as prolactin production inhibitors  
 IN Suzuki, Nobuhiro; Matsumoto, Hirokazu; Furuya, Shuichi  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO Eur. Pat. Appl., 149 pp.  
 CODEN: EPXXDW

DT Patent  
 LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 781774	A2	19970702	EP 1996-119589	19961206
	EP 781774	A3	19971112		
	EP 781774	B1	20020731		
	R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE CA 2192283 JP 09216823 AT 221534 US 5977132	AA	19970609	CA 1996-2192283 JP 1996-326455 AT 1996-119589 US 1996-762125	19961206 19961206 19961206 19961209
PRAI	JP 1995-345046	A	19991102		
OS	MARPAT 127:135807				
GI					

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

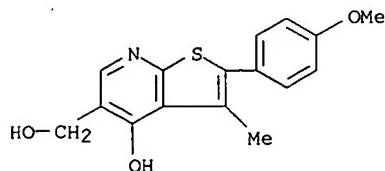
AB The title compds. [I; W = (un)substituted homo or hetero 5-7-membered ring; Y = (un)substituted homo or hetero 5-7-membered ring] and their salts, useful for the prophylaxis or therapy of diseases accompanied with an excess prolactin production or diseases having enhanced reactivity with prolactin, or for inhibiting puerperal lactation, and also useful as a prophylactic or therapeutic agent of galactorrhea, hyperprolactinemic ovulation disturbance, amenorrhea-galactorrhea syndrome, prolactinoma, and interbrain tumor, and acromegaly, pituitary gigantism, were prepared and formulated. Thus, reaction of 4-hydroxy-5-hydroxymethyl-2-(4-methoxyphenyl)-3-methylthieno[2,3-b]pyridine with 2-fluorobenzyl chloride in the presence of KI afforded the title compound II. For example, the title compound III.HCl showed 34% inhibition of the PRL secretion at 2μM and 62% inhibition at 10μM.

IT 174072-83-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of condensed bicyclic compds. as prolactin production inhibitors)

RN 174072-83-4 CAPLUS

CN Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)

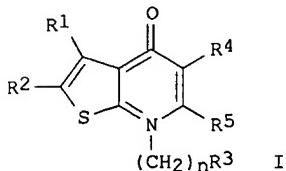


L32 ANSWER 9 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1997:381008 CAPLUS  
 DN 126:343558  
 TI Preparation of thienopyridine derivatives as gonadotropin releasing hormone antagonists.  
 IN Furuya, Shuichi; Choh, Nobuo; Harada, Masataka; Sasaki, Satoshi  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO PCT Int. Appl., 109 pp.  
 CODEN: PIXXD2

DT Patent  
 LA English

FAN.CNT 1

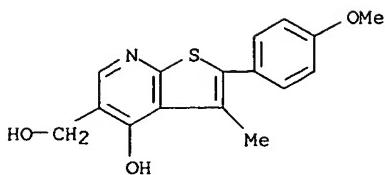
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9714697	A1	19970424	WO 1996-JP3018	19961018
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9673333	A1	19970507	AU 1996-73333	19961018
	JP 09169767	A2	19970630	JP 1996-275672	19961018
	EP 862573	A1	19980909	EP 1996-935359	19961018
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	CN 1200124	A	19981125	CN 1996-197675	19961018
	CN 1063446	B	20010321		
	US 5744479	A	19980428	US 1997-779608	19970107
PRAI	JP 1995-271639	A	19951019		
	WO 1996-JP3018	W	19961018		
OS	MARPAT	126:343558			
GI					



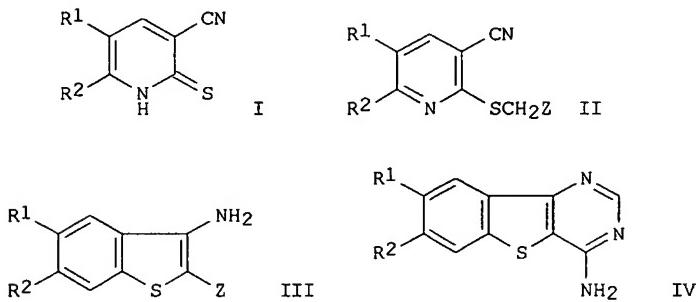
AB Title compds. [I; R1, R2 = H, group bonded through a C, N, O, or S atom; R3 = (substituted) (hetero)cyclyl; R4 = (substituted) heterocyclyl, group bonded through a heteroatom; R5 = H, group bonded through a C atom; n = 0-3; with provisos], were prepared. Thus, 4,7-dihydro-2-(4-isobutyrylaminophenyl)-3-(N-benzyl-N-methylaminomethyl)-5-hydroxy-7-(2,6-difluorobenzyl)-4-oxothieno[2,3-b]pyridine (preparation given) was stirred with isopropylsulfonyl chloride and Et3N in CH<sub>2</sub>Cl<sub>2</sub> to give 51% 4,7-dihydro-2-(4-isobutyrylaminophenyl)-3-(N-benzyl-N-methylaminomethyl)-5-isopropylsulfonyloxy-7-(2,6-difluorobenzyl)-4-oxothieno[2,3-b]pyridine. The latter showed IC<sub>50</sub> = 0.3 nM for inhibition of <sup>125</sup>I-leuprolrelin binding to GnRH receptors.

IT 174072-83-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of thienopyridine derivs. as gonadotropin releasing hormone antagonists)

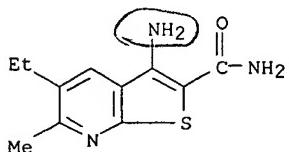
RN 174072-83-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



L32 ANSWER 10 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:456309 CAPLUS  
 DN 125:221519  
 TI Synthesis of 3-cyano-5-ethyl-6-methylpyridine-2(1H)-thione and condensed heterocycles based on it  
 AU Rodinovskaya, L. A.; Shestopalov, A. M.; Belukhina, E. V.; Litvinov, V. P.  
 CS Inst. Org. Khim. im. Zelinskogo, Moscow, 117913, Russia  
 SO Khimiya Geterotsiklicheskih Soedinenii (1996), (6), 851-857  
 CODEN: KGSSAQ; ISSN: 0132-6244  
 PB Latviiskii Institut Organicheskogo Sinteza  
 DT Journal  
 LA Russian  
 GI

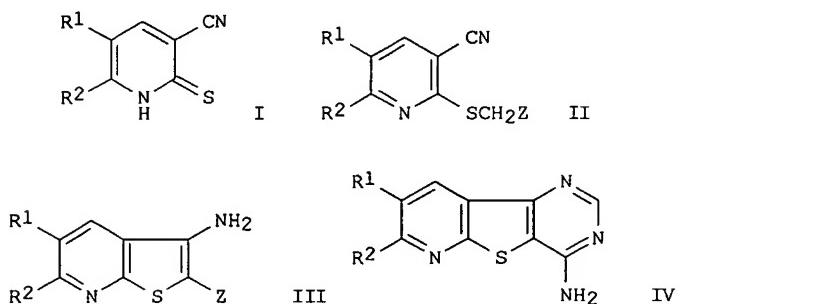


AB Pyridinethiones I ( $R_1 = Et$ ,  $R_2 = Me$ ;  $R_1 = H$ ,  $R_2 = Pr$ ) were prepared by formylation of 2-pentanone, followed by cyclocondensation with  $NCCH_2CSNH_2$ . Alkylation of I with  $C_1CH_2Z$  ( $Z = CONH_2$ ,  $COOMe$ ,  $COOEt$ ,  $COPh$ ,  $C_15H_{31}$ ,  $CN$ ) gave (alkylthio)pyridines (II). Conversions of I and II to thienopyridines (III) and pyridothenopyrimidines (IV) were described.  
 IT 174314-60-4P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 174314-60-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-ethyl-6-methyl- (9CI) (CA INDEX NAME)

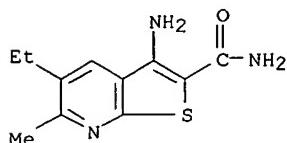


L32 ANSWER 11 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1996:27901 CAPLUS  
 DN 124:202066  
 TI Synthesis of 3-cyano-5-ethyl-6-methylpyridine-2(1H)-thione and condensed heterocycles based on it  
 AU Rodinovskaya, L. A.; Shestopalov, A. M.; Belukhina, E. V.; Litvinov, V. P.  
 CS Inst. Org. Khim. im. N. D. Zelinskogo, Moscow, Russia  
 SO Khimiya Geterotsiklicheskih Soedinenii (1995), (6), 851-7

CODEN: KGSSAQ; ISSN: 0132-6244  
 PB Latviiskii Institut Organicheskogo Sinteza  
 DT Journal  
 LA Russian  
 GI



AB Pyridinethiones I ( $R_1 = Et$ ,  $R_2 = Me$ ;  $R_1 = H$ ,  $R_2 = Pr$ ) were prepared by formylation of  $MeCOPr$  and reaction of the unsatd. ketones formed with  $NCCCH_2CSNH_2$ . Alkylation of I with  $ClCH_2Z$  ( $Z = alkyl$ , carbalkoxy,  $COPh$ ,  $CONH_2$ ,  $CN$ ) occurred on the S atom to give II (same  $R_1$ ,  $R_2$ ,  $Z$ ). II were then converted to thienopyridines (III) and pyridothienopyrimidines (IV).  
 IT 174314-60-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and cyclization with formamide)  
 RN 174314-60-4 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-ethyl-6-methyl- (9CI) (CA INDEX NAME)



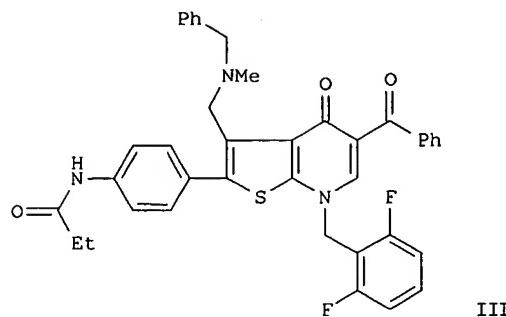
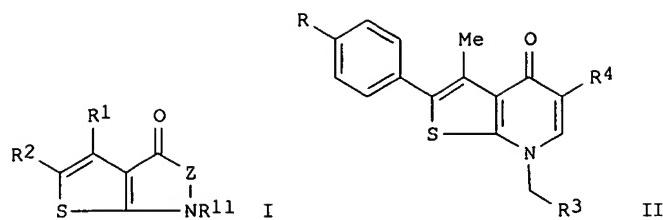
L32 ANSWER 12 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1995:998353 CAPLUS  
 DN 124:202226  
 TI Preparation of thienopyridinones as gonadotropin-releasing hormone antagonists  
 IN Furuya, Shuichi; Choh, Nobuo; Kato, Koichi; Hinuma, Shuji  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO PCT Int. Appl., 203 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9528405	A1	19951026	WO 1995-JP728	19950414
	W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TT, UA, US, UZ, VN			
	RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
	TW 449600	B	20010811	TW 1995-84103400	19950410
	CA 2186124	AA	19951026	CA 1995-2186124	19950414
	AU 9522239	A1	19951110	AU 1995-22239	19950414
	AU 697472	B2	19981008		
	EP 756599	A1	19970205	EP 1995-915318	19950414

10634162

EP 756599	B1	20031126		
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CN 1146206	A	19970326	CN 1995-192628	19950414
CN 1092197	B	20021009		
HU 76320	A2	19970828	HU 1996-2884	19950414
RU 2150470	C1	20000610	RU 1996-120203	19950414
NZ 332206	A	20010629	NZ 1995-332206	19950414
CZ 290713	B6	20020911	CZ 1996-3028	19950414
AT 255113	E	20031215	AT 1995-915318	19950414
JP 08295693	A2	19961112	JP 1995-91068	19950417
BR 9501736	A	19951114	BR 1995-1736	19950419
US 5817819	A	19981006	US 1995-454304	19950616
CA 2211969	AA	19960815	CA 1996-2211969	19960207
WO 9624597	A1	19960815	WO 1996-JP263	19960207
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AU 9646327	A1	19960827	AU 1996-46327	19960207
JP 09169768	A2	19970630	JP 1996-21342	19960207
EP 808317	A1	19971126	EP 1996-901958	19960207
EP 808317	B1	20030910		
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CN 1173868	A	19980218	CN 1996-191854	19960207
CN 1064045	B	20010404		
BR 9600341	A	19980915	BR 1996-341	19960207
AT 249464	E	20030915	AT 1996-901958	19960207
NO 9604434	A	19961018	NO 1996-4434	19961018
FI 9604195	A	19961217	FI 1996-4195	19961018
AU 9883169	A1	19981105	AU 1998-83169	19980908
AU 713116	B2	19991125		
US 6187788	B1	20010213	US 1998-164349	19981001
CZ 290723	B6	20021016	CZ 2000-2915	20000809
US 6514988	B1	20030204	US 2000-672777	20000929
PRAI JP 1994-80732	A	19940419		
JP 1994-195541	A	19940819		
JP 1994-271010	A	19941104		
JP 1995-20717	A	19950208		
JP 1995-40151	A	19950228		
AU 1995-22239	A3	19950414		
NZ 1995-283813	A1	19950414		
US 1995-454304	A2	19950414		
WO 1995-JP728	W	19950414		
JP 1995-91068	A	19950417		
JP 1995-271638	A	19951019		
WO 1996-JP263	W	19960207		
US 1998-164349	A3	19981001		

OS MARPAT 124:202226  
GI



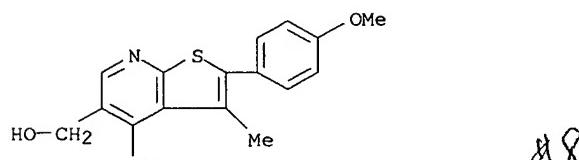
**AB** Title compds. [I; R1,R2 = H, C-, N-, or S-attached group (sic); R11 = ( $\text{CH}_2$ ) $n$ R3; R3 = homocyclic (sic) or heterocyclic group; Z = CR4:CR5; R4 = H, CHO, (esterified or amidated) CO<sub>2</sub>H, etc.; R5 = H, C-attached group; n = 0-3] and I [R1 = ( $\text{CH}_2$ ) $r$ R13; R2 = (un)substituted aryl; R11 = H, (ar)alkyl, etc.; R13 = (un)substituted amino; Z = NR12CO; R12 = H, alkyl, aryl(alkyl), etc.; r = 0-3] were prepared. Thus, 4-(MeO)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>COMe was condensed with NCCH<sub>2</sub>CO<sub>2</sub>Et and the product treated with S/Et<sub>2</sub>NH to give Et 2-amino-4-methyl-5-(4-methoxyphenyl)thiophene-3-carboxylate which was N-alkylated by EtOCH:C(CO<sub>2</sub>Et)<sub>2</sub> and the product cyclized to give, after NaH treatment and condensation with 2-(MeO)C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>Cl, title product II [R = MeO, R3 = C<sub>6</sub>H<sub>4</sub>(OMe)-2, R4 = CO<sub>2</sub>Et]. II [R = NO<sub>2</sub>, R3 = C<sub>6</sub>H<sub>3</sub>F<sub>2</sub>-2,6, R4 = COPh] was converted in 4 steps to title compound III which gave .apprx.85% reduction of mouse plasma testosterone levels at 30mg/kg/day orally for 3 days.

**IT** 174072-83-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of thienopyridinones as gonadotropin-releasing hormone antagonists)

**RN** 174072-83-4 CAPLUS

**CN** Thieno[2,3-b]pyridine-5-methanol, 4-hydroxy-2-(4-methoxyphenyl)-3-methyl- (9CI) (CA INDEX NAME)



**L32** ANSWER 13 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

**AN** 1993:671114 CAPLUS

**DN** 119:271114

**TI** Synthesis of some new pyrido[3',2':4,5]thieno[3,2-d]1,2,3-triazines with antianaphylactic activity

**AU** Wagner, G.; Leistner, S.; Vieweg, H.; Krasselt, U.; Prantz, J.

**CS** Fachbereich Biowiss., Univ. Leipzig, Germany

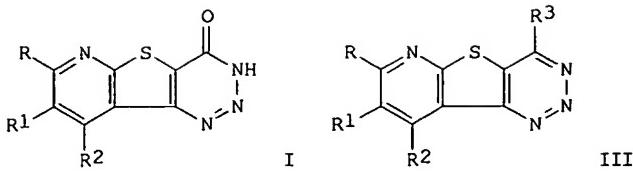
**SO** Pharmazie (1993), 48(7), 514-18

CODEN: PHARAT; ISSN: 0031-7144

**DT** Journal

**LA** German

**GI**



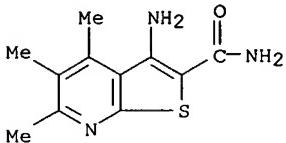
AB Some new pyridothienotriazinones I ( $R = Me, Ph, 4-ClC_6H_4, 4-BrC_6H_4$ , 2-furyl, 2-naphthyl;  $R_1 = H, Me, CH_2Ph, CH_2C_6H_4CN-4$ ;  $R_2 = Ph, Me, 4-ClC_6H_4$ , pyridyl, CONH $_2$ , CONHBu, CONHCH $_2$ CH $_2$ OH, piperidinocarbonyl, CO $_2$ Et, CO $_2$ H, 4-BrC $_6$ H $_4$ ) were synthesized from 2-thioxo-1,2-dihydropyridine-3-carbonitriles (II) via 3-amino-thieno[3,2-b]pyridine-2-carboxamides. II were converted to 3-amino-thieno[2,3-b]pyridine-2-carbonitriles which yielded the pyridothienotriazines III ( $R = Ph, Me; R_1 = H, Me, CH_2Ph, CH_2C_6H_4CN-4$ ;  $R_2 = pyridyl, 4-ClC_6H_4, CONHBu; R_3 = piperidino, NHNH_2, NHCH_2CH_2NMe_2, NHCH_2CH_2OH, NHBu, NHCH_2CH_2NET_2, NHCH_2C_6H_4Cl-2$ ) via III ( $R_3 = Cl$ ). I ( $R-R_2 = Me; R = Me, R_1 = H, R_2 = 3-, 4-pyridyl$ ) and III ( $R = Me, R_1 = H, R_2 = CONHBu, R_3 = NHBu$ ) showed respectable antianaphylactic activity.

IT 119003-37-1P 119003-38-2P 119003-39-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(reactant in preparation of antianaphylactic pyridothienotriazines)  
110003-37-1 CAPIUS

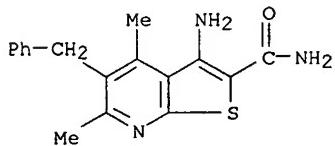
RN 119003-37-1 CAPLUS

CN Thiено[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



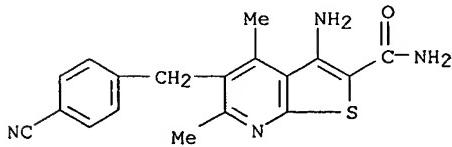
RN 119003-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 14 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

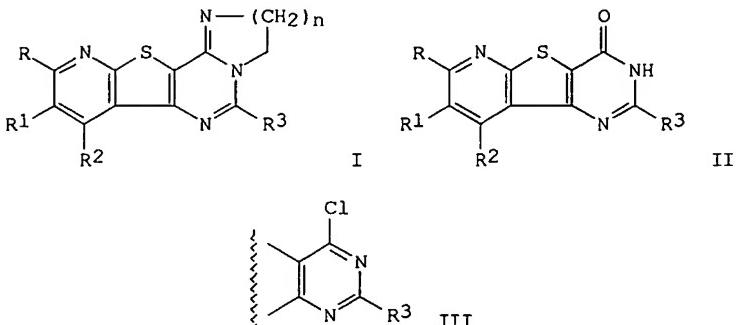
AN 1993:101913 CAPLUS

DN 118:101913

## TI      Synthesis of 2,3-dihydroimidazo[1,2-c]- and 3,4-dihydro-2H-pyrimido[1,2-

c) pyrido[3',2':4,5]thieno[2,3-e]pyrimidines via 4-( $\omega$ -hydroxyalkylamino) derivatives of pyridothienopyrimidines. Part 35. Polycyclic azines with heteroatoms in 1- and 3-position

AU Vieweg, H.; Boehm, N.; Krasselt, U.; Leistner, S.; Wagner, G.  
CS Sekt. Biowiss., Univ. Leipzig, Germany  
SO Pharmazie (1992), 47(10), 751-4  
CODEN: PHARAT; ISSN: 0031-7144  
DT Journal  
LA German  
GI



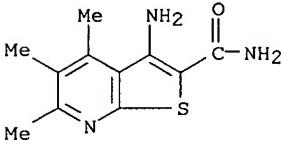
AB Title compds. I ( $R = Me, Ph, 4-BrC_6H_4$ ;  $R1 = H, Me, CH_2Ph, CH_2C_6H_4CN-4$ ;  $R2 = Me, 4-BrC_6H_4$ ;  $R3 = H, Me, Ph$ ;  $n = 1, 2$ ) were prepared from the ketones II via the chlorides III and the hydroxyalkylamines.

IT 119003-37-1 119003-38-2 119003-39-3

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with orthoformate)

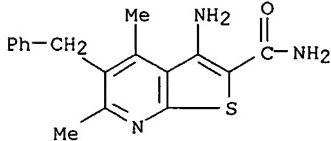
RN 119003-37-1 CAPLUS

CN Thiено[2,3-*b*]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



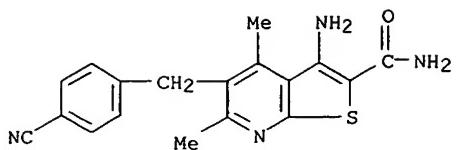
RN 119003-38-2 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 15 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1993:80893 CAPLUS

DN 118:80893

TI Synthesis of tetracyclic pyridines from 3-amino-2-(dihydroimidazolyl)- or tetrahydropyrimidinylthieno[2,3-b]pyrimidines by introduction of Cl- or N1-components. 34. Polycyclic azines with heteroatoms in 1- and 3-position

AU Leistner, S.; Krasselt, U.; Dumke, S.; Wagner, G.

CS Sekt. Biowiss., Univ. Leipzig, Leipzig, D-7010, Germany

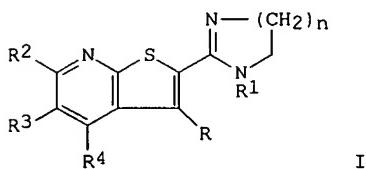
SO Pharmazie (1992), 47(9), 682-6

CODEN: PHARAT; ISSN: 0031-7144

DT Journal

LA German

GI

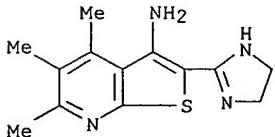
AB Previously prepared thienopyridines I ( $R = NH_2$ ,  $R1 = H$ ;  $R2 = Me$ , Ph, 4-BrC<sub>6</sub>H<sub>4</sub>;  $R3 = H$ , Me;  $R4 = H$ , Me, 4-BrC<sub>6</sub>H<sub>4</sub>;  $n = 1$ , 2) were cyclized with HC(OEt)<sub>3</sub> or EtO<sub>2</sub>CCO<sub>2</sub>Et to give I ( $RR1 = N:CH$ ,  $N:CO_2Et$ ). Treatment of I ( $R = NH_2$ ,  $R1 = H$ ) with CS<sub>2</sub> gave I ( $RR1 = NHCS$ ), which were S-alkylated or hydrolyzed to I ( $RR1 = NHCO$ ). I ( $RR1 = N:N$ ) were obtained from I ( $R = NH_2$ ,  $R1 = H$ ) and NaNO<sub>2</sub>.

IT 141278-06-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(cyclization of, with orthoformate)

RN 141278-06-0 CAPLUS

CN Thieno[2,3-b]pyridin-3-amine, 2-(4,5-dihydro-1H-imidazol-2-yl)-4,5,6-trimethyl- (9CI) (CA INDEX NAME)

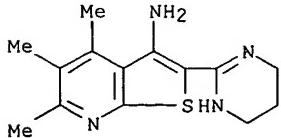


IT 141278-11-7

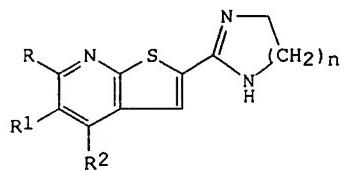
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with orthoformate)

RN 141278-11-7 CAPLUS

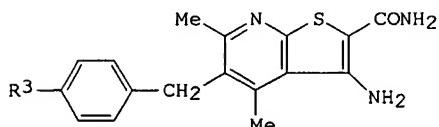
CN Thieno[2,3-b]pyridin-3-amine, 4,5,6-trimethyl-2-(1,4,5,6-tetrahydro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



L32 ANSWER 16 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:235578 CAPLUS  
 DN 116:235578  
 TI Heteroatom-containing polycyclic azines. 31. Synthesis of imidazolin-2-yl-  
 and 3,4,5,6-tetrahydropyrimidin-2-yl-3-aminothieno[2,3-b]pyridines  
 AU Leistner, S.; Wagner, G.; Krasselt, U.; Dumke, S.  
 CS Sekt. Biowiss., Univ. Leipzig, Leipzig, D-7010, Germany  
 SO Pharmazie (1992), 47(1), 11-14  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA German  
 GI



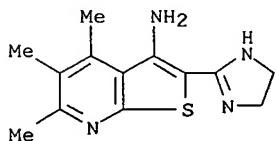
I



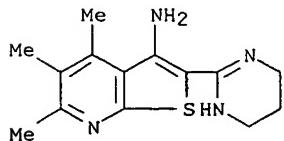
II

AB The title compds. I ( $n = 1, 2$ ; R = Me, Ph, 4-BrC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = H, Me; R<sub>2</sub> = H, Me, 4-BrC<sub>6</sub>H<sub>4</sub>) were prepared by the reaction of diaminoalkanes and CS<sub>2</sub> with the aminothieno[2,3-b]pyridines or cyanomethylthiopyridines, or from aminothieno[2,3-b]pyridinecarboxamides with diaminoalkanes. The reaction of the aminothieno[2,3-b]pyridinecarboxamides II (R<sub>3</sub> = cyano) with diaminoalkanes gave II (R<sub>3</sub> = 2-imidazolinyl, 2-tetrahydropyrimidinyl). Compds. with two imidazoline or two tetrahydropyrimidine substituents were similarly synthesized.

IT 141278-06-0P 141278-11-7P 141278-17-3P  
 141278-18-4P 141278-19-5P 141278-20-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 141278-06-0 CAPLUS  
 CN Thieno[2,3-b]pyridin-3-amine, 2-(4,5-dihydro-1H-imidazol-2-yl)-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



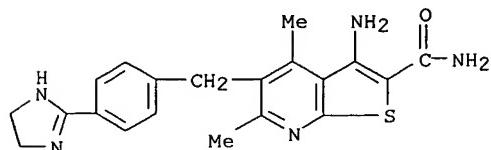
RN 141278-11-7 CAPLUS  
 CN Thieno[2,3-b]pyridin-3-amine, 4,5,6-trimethyl-2-(1,4,5,6-tetrahydro-2-pyrimidinyl)- (9CI) (CA INDEX NAME)



10634162

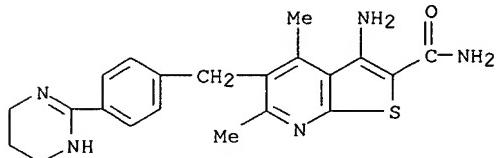
RN 141278-17-3 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



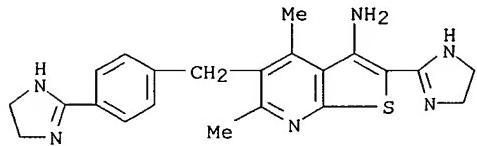
RN 141278-18-4 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-[(4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl)methyl]- (9CI) (CA INDEX NAME)



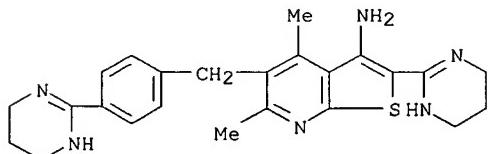
RN 141278-19-5 CAPLUS

CN Thieno[2,3-b]pyridin-3-amine, 2-(4,5-dihydro-1H-imidazol-2-yl)-5-[(4-(4,5-dihydro-1H-imidazol-2-yl)phenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



RN 141278-20-8 CAPLUS

CN Thieno[2,3-b]pyridin-3-amine, 4,6-dimethyl-2-(1,4,5,6-tetrahydro-2-pyrimidinyl)-5-[(4-(1,4,5,6-tetrahydro-2-pyrimidinyl)phenyl)methyl]- (9CI) (CA INDEX NAME)

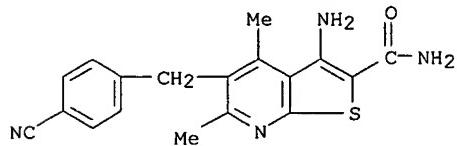


IT 119003-39-3

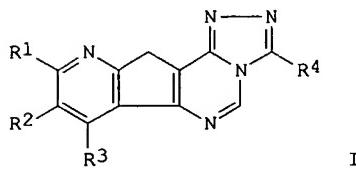
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with ethylenediamine and carbon disulfide)

RN 119003-39-3 CAPLUS

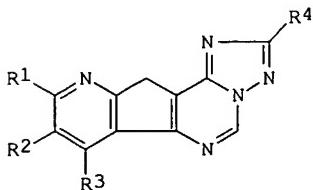
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 17 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1992:151702 CAPLUS  
 DN 116:151702  
 TI Multicyclic azines with hetero atom in 1- and 3-positions. 29. Synthesis of pyrido[3',2':4,5]thieno[2,3-e]-1,2,4-triazolo[4,3-c]pyrimidines and the isomeric pyrido[3',2':4,5]thieno[2,3-c]-1,2,4-triazolo[2,3-c]pyrimidines  
 AU Wagner, G.; Krasselt, U.; Leistner, S.  
 CS Sekt. Biowiss., Univ. Leipzig, Leipzig, D-7010, Germany  
 SO Pharmazie (1991), 46(6), 409-12  
 CODEN: PHARAT; ISSN: 0031-7144  
 DT Journal  
 LA German  
 OS CASREACT 116:151702  
 GI



I



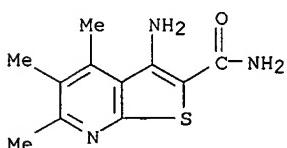
II

AB The isomeric title compds. I and II and (R1 = R2 = R3 = Me, R4 = H, Me, CO<sub>2</sub>Et, CH<sub>2</sub>CO<sub>2</sub>Et; R1 = R3 = Me, R2 = H, R4 = H, Me; R1 = Ph, R2 = R3 = H, R4 = H, Me) were synthesized. The synthesis of I was achieved by the reaction of the 4-hydrazinopyrimidine derivs. with HC(OEt)<sub>3</sub>/Ac<sub>2</sub>O, Ac<sub>2</sub>O, di-Et oxalate and di-Et malonate, resp. I were transformed to the thermodynamically more stable II. The condensed pyrimidines II were also synthesized by the reaction of the 3-amino-2-iminopyrimidine derivs. or the 4-hydrazinopyrimidine derivs. with different C-1 components.

IT 119003-37-1  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with tri-Et orthoformate)

RN 119003-37-1 CAPLUS

CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 18 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1991:632279 CAPLUS  
 DN 115:232279  
 TI Preparation of 7-(biphenylmethyl)-4-oxothieno[2,3-b]pyrimidine-5-carboxylates as angiotensin II antagonists  
 IN Morimoto, Akira; Nishikawa, Kohei; Naka, Takehiko  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO Eur. Pat. Appl., 47 pp.

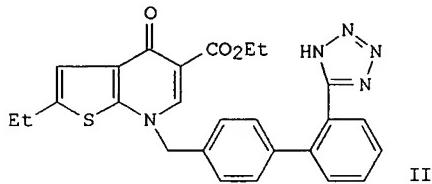
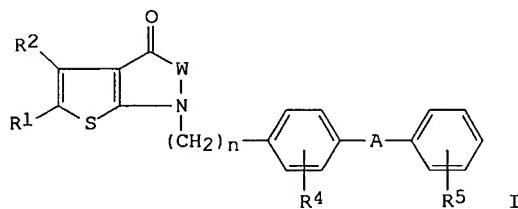
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 443568	A1	19910828	EP 1991-102513	19910221
	EP 443568	B1	19960612		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	CA 2036618	AA	19910823	CA 1991-2036618	19910219
	CA 2036618	C	20021029		
	JP 07061986	A2	19950307	JP 1991-27273	19910221
	JP 3035745	B2	20000424		
	AT 139233	E	19960615	AT 1991-102513	19910221
	US 5284661	A	19940208	US 1993-47368	19930419
PRAI	JP 1990-42125	A	19900222		
	JP 1991-3958	A	19910117		
	US 1991-657051	B1	19910219		
OS	MARPAT 115:232279				
GI					



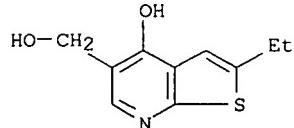
AB Title compds. [I; R1, R2 = H, halo, cyano, NO<sub>2</sub>, acylamino, (substituted) hydrocarbyl; R3 = H, (substituted) alkyl, alkenyl, COX; X = H, alkoxy, OH, halo, amino; R4 = H, halo, NO<sub>2</sub>; R5 = residue capable of forming an anion or convertible to an anion; R6 = H, (substituted) alkyl, alkenyl; R7 = (substituted) hydrocarbyl; A = bond, spacer group; n = 1,2; W = CR<sub>3</sub>:CR<sub>6</sub>, NR<sub>7</sub>CO], were prepared. Thus, Et 2-ethyl-4-hydroxythieno[2,3-b]pyridine-5-carboxylate, 4-(2'-cyanophenyl)biphenyl chloride, and K<sub>2</sub>CO<sub>3</sub> were stirred at 90° for 2 h to give 60% coupling product, which was stirred with NaN<sub>3</sub> and NH<sub>4</sub>Cl in DMF at 110° to give 13% title compound II. Several I at 30 mg/kg orally in rats inhibited the pressor response of angiotensin II by ≥70%. Tablets were prepared containing II.

IT 137070-19-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of an intermediate for angiotensin II antagonist)

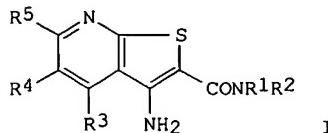
RN 137070-19-0 CAPLUS

CN Thieno[2,3-b]pyridine-5-methanol, 2-ethyl-4-hydroxy- (9CI) (CA INDEX NAME)



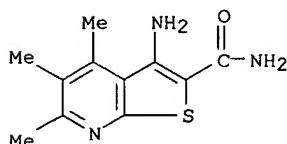
10634162

AN 1990:515227 CAPLUS  
DN 113:115227  
TI Polycyclic pyridines. Part 8. Synthesis of new primary, secondary and tertiary 3-aminothieno[2,3-b]pyridine-2-carboxamides by different pathways  
AU Wagner, G.; Vieweg, H.; Leistner, S.; Boehm, N.; Krasselt, U.; Hanfeld, Vera; Prantz, J.; Grupe, Renate  
CS Sekt. Biowiss., Karl-Marx-Univ., Leipzig, DDR-7010, Ger. Dem. Rep.  
SO Pharmazie (1990), 45(2), 102-9  
CODEN: PHARAT; ISSN: 0031-7144  
DT Journal  
LA German  
OS CASREACT 113:115227  
GI

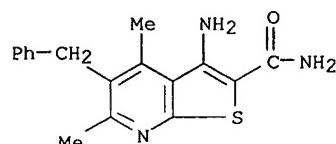


AB The treatment of 2-thioxo-1,2-dihydropyridine-3-carbonitriles with  $\text{C}_1\text{CH}_2\text{CO}_2\text{NR}_1\text{R}_3$  ( $\text{R}_1, \text{R}_2 = \text{H, Me, Et}$ ) gave 3-aminothieno[2,3-b]pyridinecarboxylic acid amides I [ $\text{R}_1 = \text{H, Et, Me}; \text{R}_2 = \text{H, Et, Bu, cyclohexyl, CH}_2\text{CH}_2\text{OH, CH}_2\text{CO}_2\text{H}; \text{R}_1\text{R}_2 = (\text{CH}_2)_5; \text{R}_3 = \text{Me, Ph, 4-BrC}_6\text{H}_4, 3\text{-pyridyl, CONH}_2, \text{etc}; \text{R}_4 = \text{H, Me, CH}_2\text{C}_6\text{H}_4(\text{CN})-4; \text{R}_5 = \text{Me, C}_6\text{H}_4\text{Cl-4, Ph, C}_6\text{H}_4\text{Br-4, furyl, naphthyl, OH}.$  Some of the compds. thus prepared, e.g. I ( $\text{R}_1 = \text{R}_2 = \text{R}_4 = \text{H}, \text{R}_3 = \text{Me}, \text{R}_5 = \text{Ph}$ ) and I ( $\text{R}_1 = \text{R}_4 = \text{H}, \text{R}_2 = \text{CH}_2\text{CH}_2\text{OH}, \text{R}_3 = \text{R}_5 = \text{Me}$ ) showed activity as antiallergics in the passive cutaneous anaphylaxis test in rats.

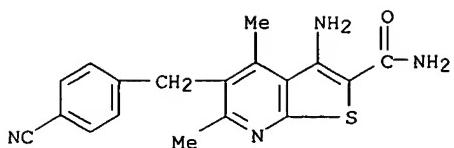
IT 119003-37-1P 119003-38-2P 119003-39-3P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 119003-37-1 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



RN 119003-38-2 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)- (9CI) (CA INDEX NAME)

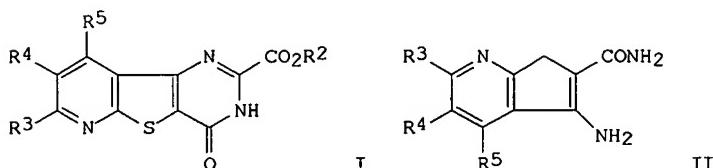


RN 119003-39-3 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)



L32 ANSWER 20 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1989:95203 CAPLUS  
 DN 110:95203  
 TI Preparation of 4-oxo-3,4-dihydropyrido[3',4',4,5]thieno[3,2-d]pyrimidine-2-carboxylates as drugs  
 IN Vieweg, Helmut; Leistner, Siegried; Wagner, Guenther; Krasselt, Uwe; Lohmann, Dieter; Laban, Gunter  
 PA Karl-Marx-Universitaet Leipzig, Ger. Dem. Rep.  
 SO Ger. (East), 4 pp.  
 CODEN: GEXXA8  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 258014	A1	19880706	DD 1987-300313	19870302
PRAI	DD 1987-300313		19870302		
OS	MARPAT 110:95203				
GI					

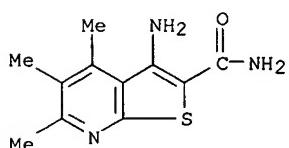


AB The title compds. [I; R<sub>2</sub> = C1-3 alkyl; R<sub>3</sub> = Me, (substituted) alkyl; R<sub>4</sub> = H, Me, (substituted) aryl], useful as potential drugs and intermediates, were prepared by cyclocondensation of aminothienopyrimidinecarboxamides II with R<sub>1</sub>O<sub>2</sub>CCO<sub>2</sub>R<sub>1</sub> (R<sub>1</sub> = C1-3 alkyl) in R<sub>2</sub>ONa/R<sub>2</sub>OH. II (R<sub>3</sub> = Me, R<sub>4</sub> = H, R<sub>5</sub> = Ph) was heated briefly in MeOH/NaOMe; di-Et oxalate was added and the mixture was refluxed for 30 min to give 85% I (R<sub>2</sub> = Et, R<sub>3</sub> = Me, R<sub>4</sub> = H, R<sub>5</sub> = Ph).

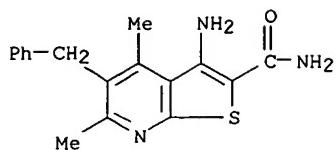
IT **119003-37-1 119003-38-2 119003-39-3**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclocondensation of, with di-Et oxalate)

RN 119003-37-1 CAPLUS

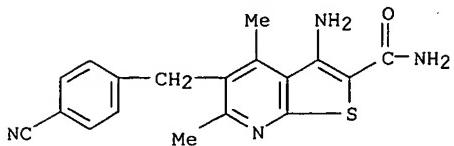
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,5,6-trimethyl- (9CI) (CA INDEX NAME)



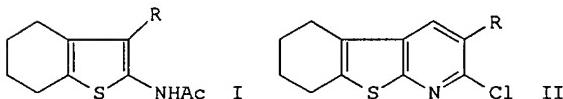
RN 119003-38-2 CAPLUS  
CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-4,6-dimethyl-5-(phenylmethyl)-  
(9CI) (CA INDEX NAME)



RN 119003-39-3 CAPLUS  
 CN Thieno[2,3-b]pyridine-2-carboxamide, 3-amino-5-[(4-cyanophenyl)methyl]-4,6-dimethyl- (9CI) (CA INDEX NAME)

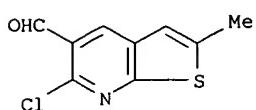


L32 ANSWER 21 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1981:550487 CAPLUS  
 DN 95:150487  
 TI A versatile new synthesis of quinolines and related fused pyridines. Part 7. The conversion of acetamidothiophenes into thienopyridines  
 AU Meth-Cohn, Otto; Narine, Brahma; Tarnowski, Brian  
 CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, M5 4WT, UK  
 SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1981), (5), 1531-6  
 CODEN: JCPRB4; ISSN: 0300-922X  
 DT Journal  
 LA English  
 OS CASREACT 95:150487  
 GI

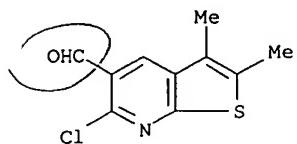


AB Reaction of DMF and POCl<sub>3</sub> with 5-substituted 2-acetamidothiophenes (hot C<sub>1</sub>CH<sub>2</sub>CH<sub>2</sub>Cl) gave good yields of 2-acetamidothiophene-3-carboxaldehydes. E.g., benzothiophene I (R = H) reacted with DMF-POCl<sub>3</sub> (1:1 mol, 15 min) to give 76% aldehyde I (R = CHO) and 12% thienopyridine II (R = H). Under similar conditions with 1:3 DMF-POCl<sub>3</sub> for 1 h, 80% II (R = H) and 8% I (R = CHO) were formed. When POCl<sub>3</sub> was used as solvent for the reaction (3:7 DMF-POCl<sub>3</sub>), 88% II (R = CHO) was formed. Thieno[3,2-b]- and -[3,4-b]pyridines were similarly prepared from 3-acetamido- and 2,5-dimethyl-3-acetamidothiophene, resp. The mechanisms of the reactions are discussed.

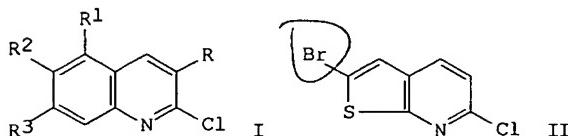
IT 68236-28-2P 68236-30-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, by reaction of Vilsmeier reagent on acetamidothiophenes)  
 RN 68236-28-2 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2-methyl- (9CI) (CA INDEX NAME)



RN 68236-30-6 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2,3-dimethyl- (9CI) (CA  
 INDEX NAME)

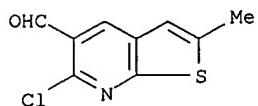


L32 ANSWER 22 OF 22 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1978:597302 CAPLUS  
 DN 89:197302  
 TI A versatile new synthesis of quinolines, thienopyridines and related fused pyridines  
 AU Meth-Cohn, O.; Narine, Bramha  
 CS Dep. Chem. Appl. Chem., Univ. Salford, Salford, UK  
 SO Tetrahedron Letters (1978), (23), 2045-8  
 CODEN: TELEAY; ISSN: 0040-4039  
 DT Journal  
 LA English  
 OS CASREACT 89:197302  
 GI



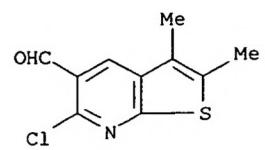
AB Quinolines I [(R = H)(R1 = R2 = H, R3 = OMe, Me; R1 = H, OMe, R2 = R3 = OMe)] were prepared (59-73%) by Vilsmeier formylation of 3,4,5-R1R2R3C6H2NHAc with POC13/DMF (3:1), whereas the corresponding formylquinolines I (R = CHO) were obtained (64-92%) using POC13/DMF (7:3). Thienopyridines and their formyl derivs. were similarly prepared in good yield by Vilsmeier formylation of acetamidothiophenes. E.g., thienopyridine II was obtained (66%) by treatment of 2-acetamido-5-bromothiophene with POC13/DMF (3:1).

IT 68236-28-2P 68236-30-6P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 68236-28-2 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2-methyl- (9CI) (CA  
 INDEX NAME)



RN 68236-30-6 CAPLUS  
 CN Thieno[2,3-b]pyridine-5-carboxaldehyde, 6-chloro-2,3-dimethyl- (9CI) (CA  
 INDEX NAME)

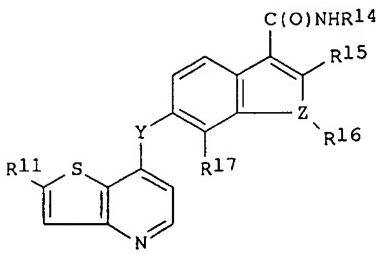
10634162



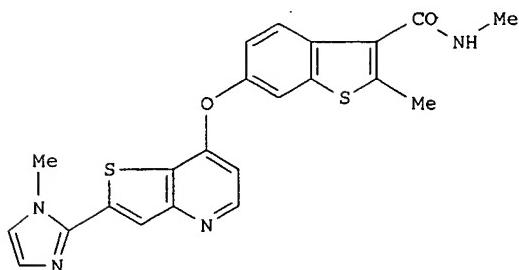
10634162

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L16 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 2003:1006988 CAPLUS  
DN 140:59632  
TI Preparation of benzofused heteroaryl amide derivatives of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders  
IN Romines, William Henry, III; Kania, Robert Steven; Lou, Jihong; Collins, Michael Raymond; Cripps, Stephan James; He, Mingying; Zhou, Ru; Palmer, Cynthia Louise; Deal, Judith Gail  
PA Pfizer Inc., USA  
SO PCT Int. Appl., 194 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1  
PATENT NO. KIND DATE APPLICATION NO. DATE  
-----  
PI WO 2003106462 A1 20031224 WO 2003-IB2393 20030604  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,  
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,  
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,  
TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ,  
MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG,  
CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC,  
NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG  
US 2004009965 A1 20040115 US 2003-460010 20030611  
PRAI US 2002-389110P P 20020614  
OS MARPAT 140:59632  
GI



I



II

AB The invention relates to benzofused heteroaryl amide derivs. of thienopyridines (shown as I; variables defined below; e.g. II) and to prodrugs or metabolites thereof, or pharmaceutically acceptable salts or solvates of said compds., prodrugs, and metabolites. The invention also relates to pharmaceutical compns. containing I and to methods of treating hyperproliferative disorders in a mammal by administering I. Inhibitory activities of >200 examples of I are tabulated for a number of tyrosine kinases. Also, pharmacokinetics of 19 examples of I in mice and metabolism in human liver microsomes were analyzed. Although the methods of preparation are

not claimed, 140 example preps. are included. For example, II was prepared in 5 steps starting from 3-methoxybenzenethiol and bromoacetaldehyde di-Et acetal and involving intermediates 1-[(2,2-diethoxyethyl)sulfanyl]-3-methoxybenzene, 6-methoxy-2-methylbenzo[b]thiophene, 6-methoxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide, and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide; the last step comprises reaction of 7-chloro-2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridine and 6-hydroxy-2-methylbenzo[b]thiophene-3-carboxylic acid methylamide (40%). For I: Y is NH, O, S, or CH<sub>2</sub>; Z is O, S, or N; R<sub>14</sub> is a C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>1</sub>-C<sub>6</sub> alkylamino, C<sub>1</sub>-C<sub>6</sub> alkylhydroxy, C<sub>3</sub>-C<sub>10</sub> cycloalkylamino, or methylureido group; R<sub>15</sub> and R<sub>17</sub> = H, halo, or a C<sub>1</sub>-C<sub>6</sub> alkyl group (un)substituted by ≥1 R<sub>5</sub> groups. R<sub>16</sub> is H or a C<sub>1</sub>-C<sub>6</sub> alkyl group when Z is N, and R<sub>16</sub> is absent when Z is O or S; R<sub>11</sub> is H, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C(O)NR<sub>12</sub>R<sub>3</sub>, C(O)(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>(5 to 10 membered heterocyclic), (CH<sub>2</sub>)<sub>t</sub>NR<sub>12</sub>R<sub>13</sub>, SO<sub>2</sub>NR<sub>12</sub>R<sub>13</sub> or CO<sub>2</sub>R<sub>12</sub>. Each R<sub>5</sub> = halo, cyano, nitro, trifluoromethoxy, trifluoromethyl, azido, C(O)R<sub>8</sub>, C(O)OR<sub>8</sub>, OC(O)R<sub>8</sub>, OC(O)OR<sub>8</sub>, NR<sub>6</sub>C(O)R<sub>7</sub>, C(O)NR<sub>6</sub>R<sub>7</sub>, NR<sub>6</sub>R<sub>7</sub>, OR<sub>9</sub>, SO<sub>2</sub>NR<sub>6</sub>R<sub>7</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, C<sub>1</sub>-C<sub>6</sub> alkylamino, (CH<sub>2</sub>)<sub>j</sub>O(CH<sub>2</sub>)<sub>q</sub>NR<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>OR<sub>9</sub>, (CH<sub>2</sub>)<sub>t</sub>OR<sub>9</sub>, S(O)<sub>j</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), (CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>j</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>(5 to 10 membered heterocyclic), C(O)(CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>j</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>(5 to 10 membered heterocyclic), (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>q</sub>N R<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>CH<sub>2</sub>C(O)NR<sub>6</sub>R<sub>7</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>q</sub>NR<sub>9</sub>C(O)R<sub>8</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>OR<sub>9</sub>, (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>q</sub>S(O)<sub>j</sub>(C<sub>1</sub>-C<sub>6</sub> alkyl), (CH<sub>2</sub>)<sub>j</sub>NR<sub>7</sub>(CH<sub>2</sub>)<sub>t</sub>R<sub>6</sub>, SO<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), and SO<sub>2</sub>(CH<sub>2</sub>)<sub>t</sub>(5 to 10 membered heterocyclic). Each R<sub>6</sub> and R<sub>7</sub> = H, OH, C<sub>1</sub>-C<sub>6</sub> alkyl, C<sub>3</sub>-C<sub>10</sub> cycloalkyl, (CH<sub>2</sub>)<sub>t</sub>(C<sub>6</sub>-C<sub>10</sub> aryl), (CH<sub>2</sub>)<sub>t</sub>O(CH<sub>2</sub>)<sub>q</sub>OR<sub>9</sub>, and (CH<sub>2</sub>)<sub>t</sub>OR<sub>9</sub>; addnl. details including provisos are given in the claims.

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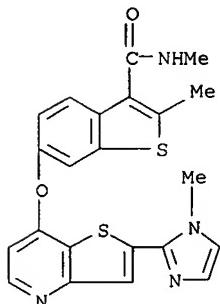
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RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

RN 638216-89-4 CAPLUS

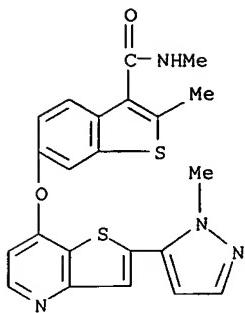
CN Benzo[b]thiophene-3-carboxamide, N,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



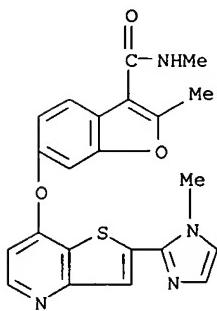
RN 638217-02-4 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, N,2-dimethyl-6-[(2-(1-methyl-1H-pyrazol-5-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

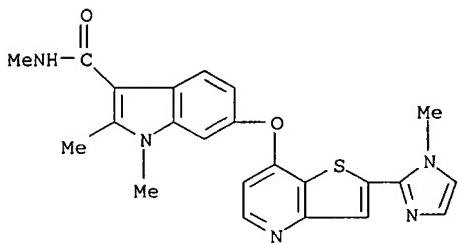
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RN 638217-10-4 CAPLUS  
CN 3-Benzofurancarboxamide, N,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638217-22-8 CAPLUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



IT 638217-27-3P 638217-32-OP 638217-37-5P  
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638217-48-8P 638217-56-8P 638217-59-1P  
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638217-67-1P 638217-77-3P 638217-79-5P  
638217-81-9P 638217-83-1P 638217-88-6P  
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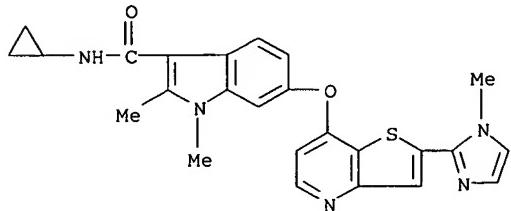
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

10634162

(drug candidate; preparation of benzofused heteroaryl amide derivs. of thienopyridines as tyrosine kinase inhibitors useful against hyperproliferative disorders)

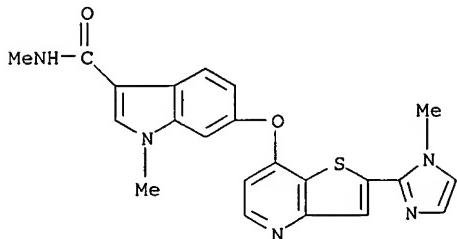
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CN 1H-Indole-3-carboxamide, N-cyclopropyl-1,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



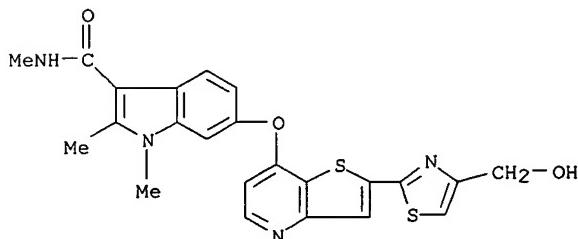
RN 638217-32-0 CAPLUS

CN 1H-Indole-3-carboxamide, N,1-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



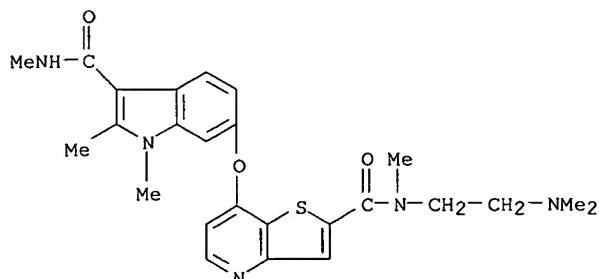
RN 638217-37-5 CAPLUS

CN 1H-Indole-3-carboxamide, 6-[(2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



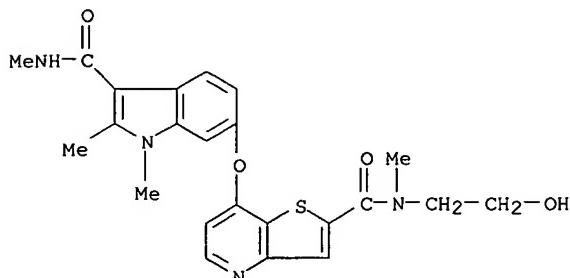
RN 638217-41-1 CAPLUS

CN Thieno[3,2-b]pyridine-2-carboxamide, N-[2-(dimethylamino)ethyl]-7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)

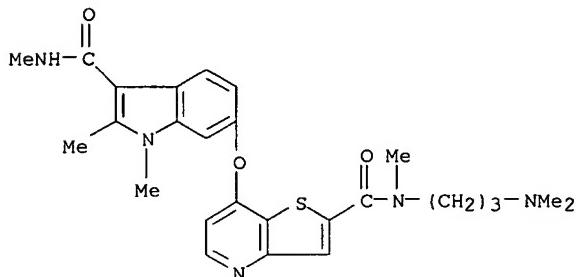


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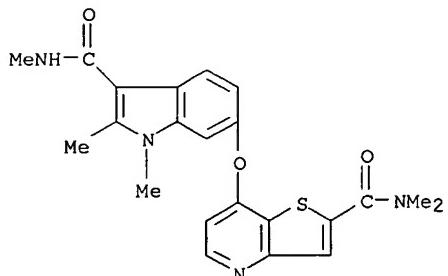
RN 638217-45-5 CAPLUS  
CN Thieno[3,2-b]pyridine-2-carboxamide, 7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N-(2-hydroxyethyl)-N-methyl- (9CI) (CA INDEX NAME)



RN 638217-47-7 CAPLUS  
CN Thieno[3,2-b]pyridine-2-carboxamide, N-[3-(dimethylamino)propyl]-7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)

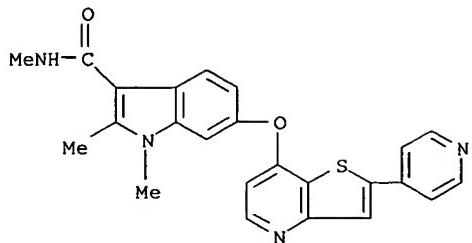


RN 638217-48-8 CAPLUS  
CN Thieno[3,2-b]pyridine-2-carboxamide, 7-[(1,2-dimethyl-3-[(methylamino)carbonyl]-1H-indol-6-yl)oxy]-N,N-dimethyl- (9CI) (CA INDEX NAME)

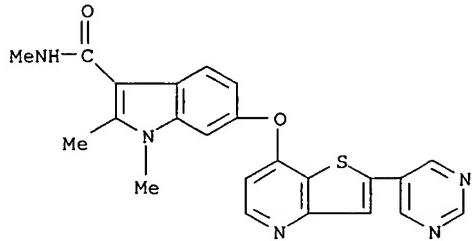


RN 638217-56-8 CAPLUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(4-pyridinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

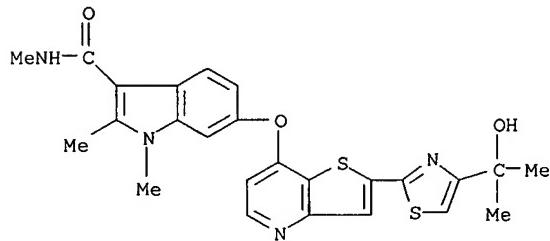
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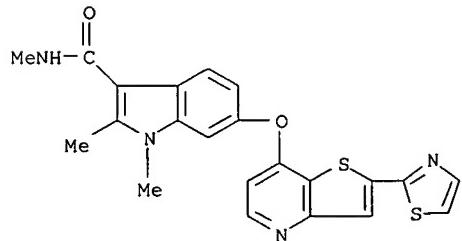
RN 638217-59-1 CAPIUS  
CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(5-pyrimidinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



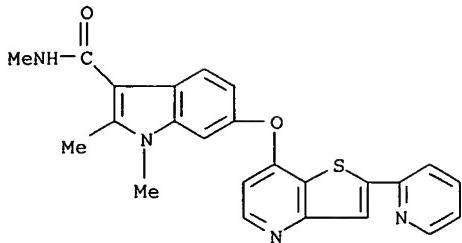
RN 638217-60-4 CAPIUS  
CN 1H-Indole-3-carboxamide, 6-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



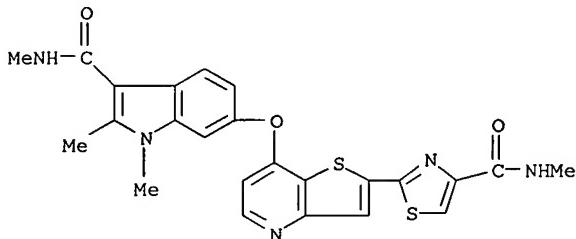
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CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



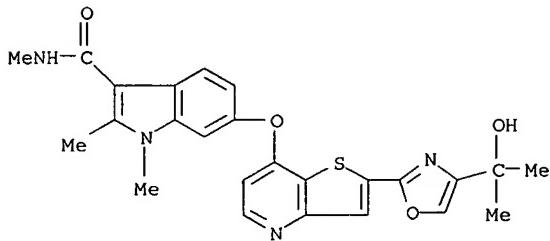
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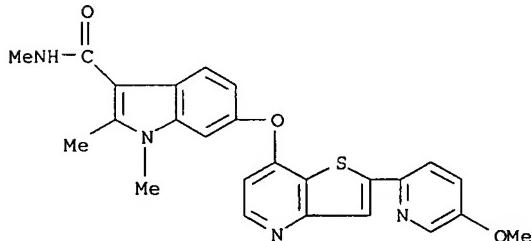
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CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-[4-(methylamino)carbonyl]-2-thiazolyl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



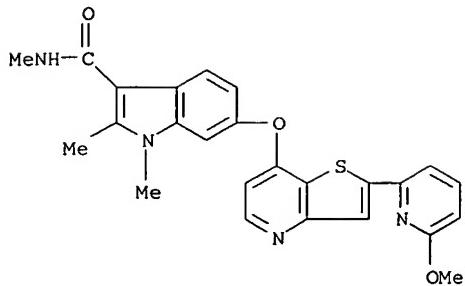
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CN 1H-Indole-3-carboxamide, 6-[(2-[4-(1-hydroxy-1-methylethyl)-2-oxazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)



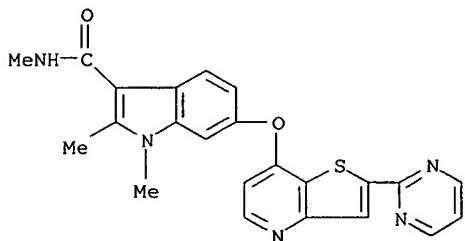
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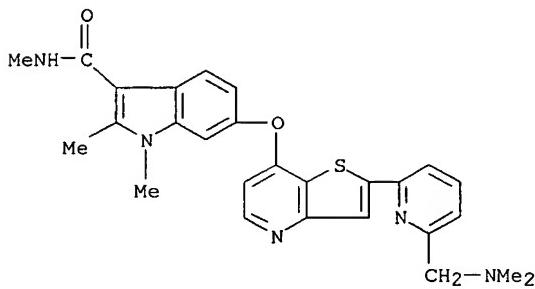
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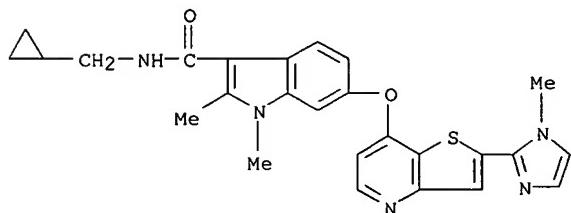
RN 638217-83-1 CAPIUS  
 CN 1H-Indole-3-carboxamide, N,1,2-trimethyl-6-[(2-(2-pyrimidinyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638217-88-6 CAPIUS  
 CN 1H-Indole-3-carboxamide, 6-[[2-[6-[(dimethylamino)methyl]-2-pyridinyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,1,2-trimethyl- (9CI) (CA INDEX NAME)

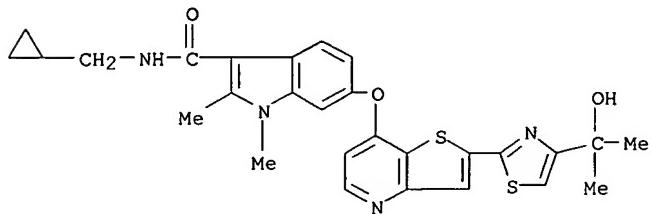


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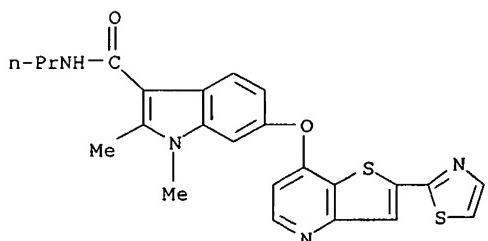


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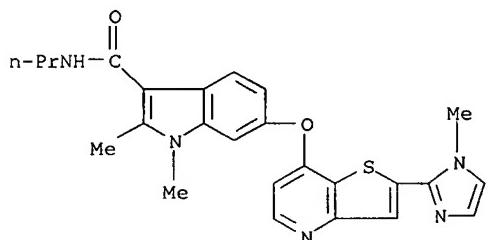
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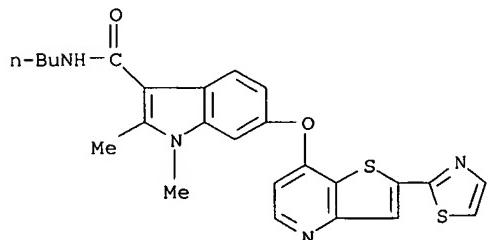
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CN 1H-Indole-3-carboxamide, 1,2-dimethyl-N-propyl-6-[[2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



RN 638218-01-6 CAPLUS  
CN 1H-Indole-3-carboxamide, 1,2-dimethyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]-N-propyl- (9CI) (CA INDEX NAME)



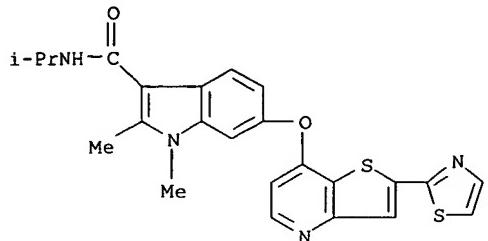
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CN 1H-Indole-3-carboxamide, N-butyl-1,2-dimethyl-6-[[2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



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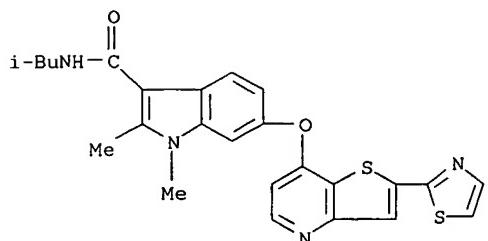
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CN 1H-Indole-3-carboxamide, 1,2-dimethyl-N-(1-methylethyl)-6-[(2-(2-thiazolyl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



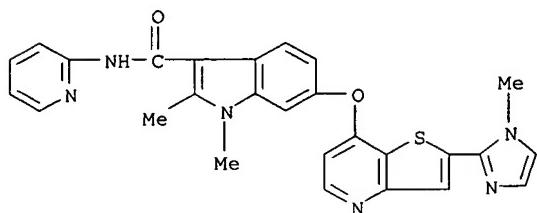
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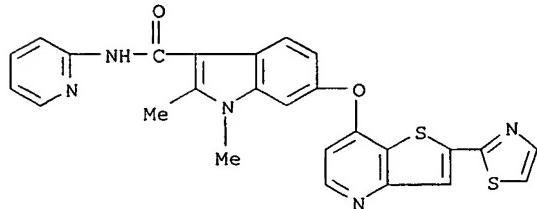
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RN 638218-22-1 CAPIUS

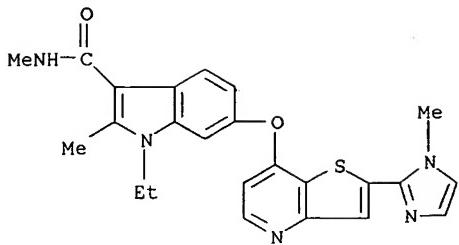
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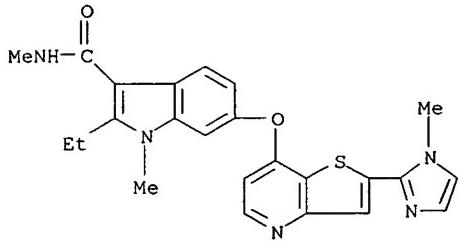
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CN 1H-Indole-3-carboxamide, 1-ethyl-N,2-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

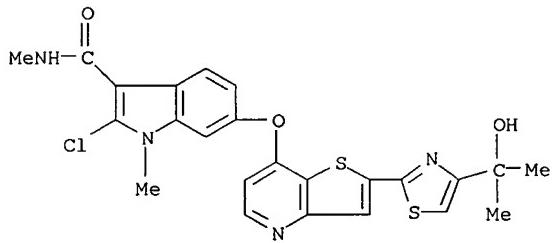
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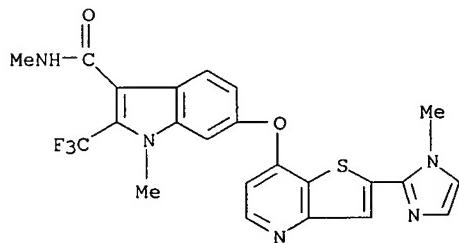
RN 638218-36-7 CAPLUS  
CN 1H-Indole-3-carboxamide, 2-ethyl-N,1-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



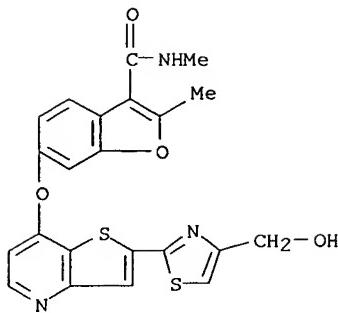
RN 638218-39-0 CAPLUS  
CN 1H-Indole-3-carboxamide, 2-chloro-6-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,1-dimethyl- (9CI) (CA INDEX NAME)



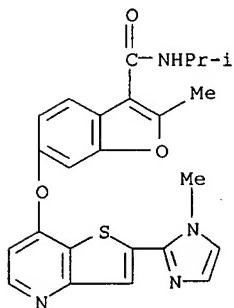
RN 638218-46-9 CAPLUS  
CN 1H-Indole-3-carboxamide, N,1-dimethyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)



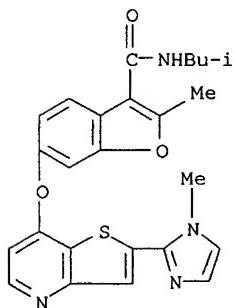
RN 638218-52-7 CAPLUS  
CN 3-Benzofurancarboxamide, 6-[(2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)



RN 638218-56-1 CAPLUS  
 CN 3-Benzofurancarboxamide, 2-methyl-N-(1-methylethyl)-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

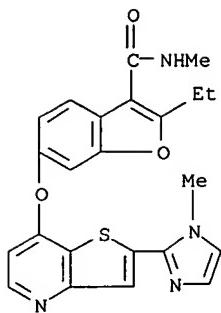


RN 638218-59-4 CAPLUS  
 CN 3-Benzofurancarboxamide, 2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

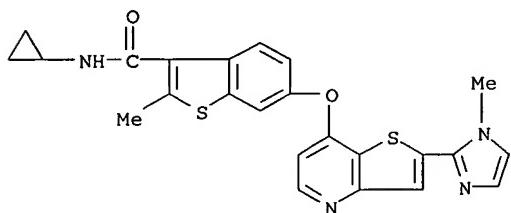


RN 638218-84-5 CAPLUS  
 CN 3-Benzofurancarboxamide, 2-ethyl-N-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

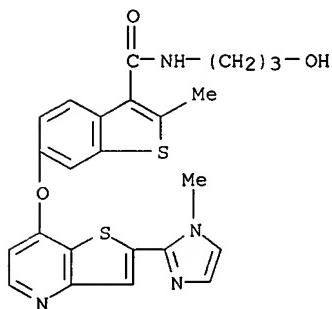
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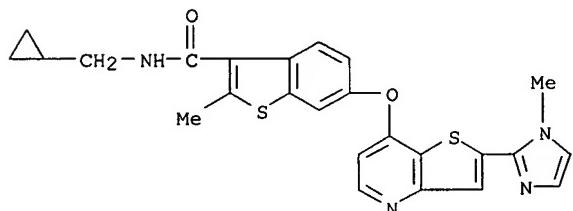
RN 638219-42-8 CAPLUS  
CN Benzo[b]thiophene-3-carboxamide, N-cyclopropyl-2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638219-44-0 CAPLUS  
CN Benzo[b]thiophene-3-carboxamide, N-(3-hydroxypropyl)-2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



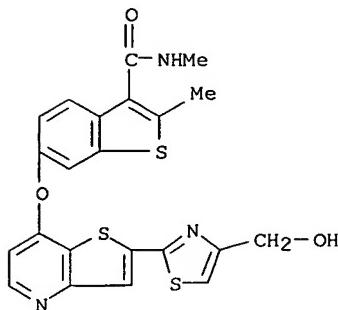
RN 638219-45-1 CAPLUS  
CN Benzo[b]thiophene-3-carboxamide, N-(cyclopropylmethyl)-2-methyl-6-[(2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RN 638219-66-6 CAPLUS

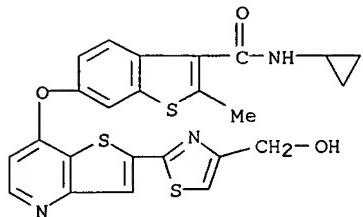
10634162

CN Benzo[b]thiophene-3-carboxamide, 6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)



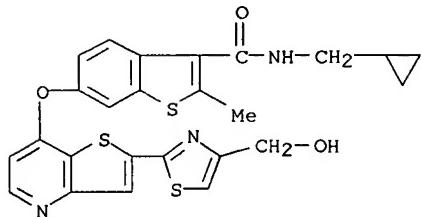
RN 638219-68-8 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, N-cyclopropyl-6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 638219-73-5 CAPLUS

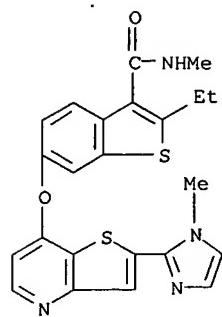
CN Benzo[b]thiophene-3-carboxamide, N-(cyclopropylmethyl)-6-[[2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 638219-88-2 CAPLUS

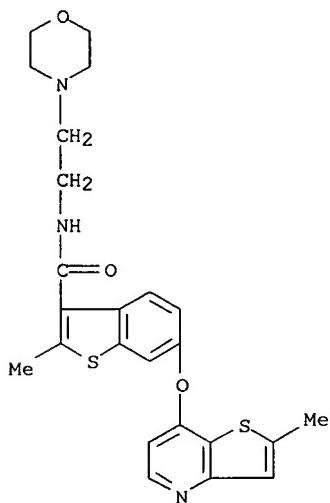
CN Benzo[b]thiophene-3-carboxamide, 2-ethyl-N-methyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)

10634162



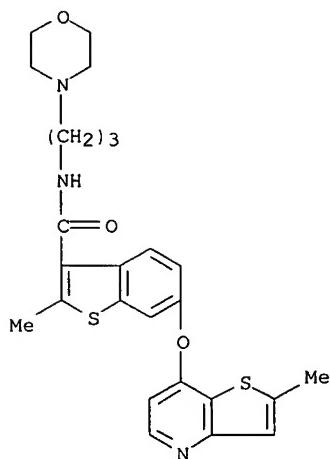
RN 638220-05-0 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]-N-[2-(4-morpholinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 638220-07-2 CAPLUS

CN Benzo[b]thiophene-3-carboxamide, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]-N-[3-(4-morpholinyl)propyl]- (9CI) (CA INDEX NAME)



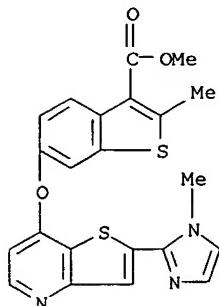
IT 638219-38-2P 638219-40-6P 638220-00-5P

**638220-02-7P 638220-03-8P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of benzofused heteroaryl amide derivs. of  
 thienopyridines as tyrosine kinase inhibitors useful against  
 hyperproliferative disorders)

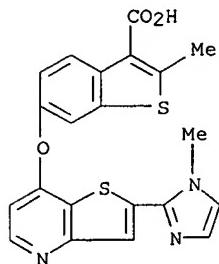
RN 638219-38-2 CAPLUS

CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]-, methyl ester (9CI) (CA INDEX NAME)



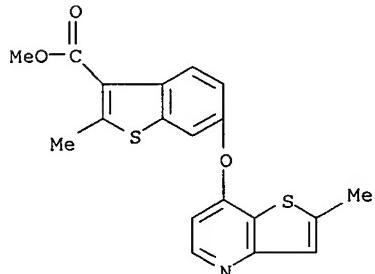
RN 638219-40-6 CAPLUS

CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



RN 638220-00-5 CAPLUS

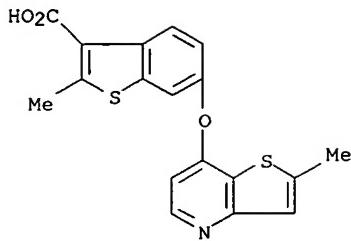
CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]-, methyl ester (9CI) (CA INDEX NAME)



RN 638220-02-7 CAPLUS

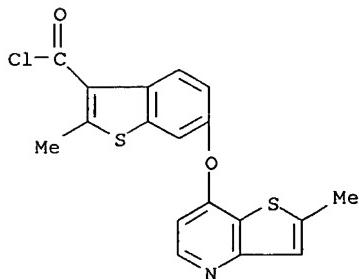
CN Benzo[b]thiophene-3-carboxylic acid, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)

10634162



RN 638220-03-8 CAPLUS

CN Benzo[b]thiophene-3-carbonyl chloride, 2-methyl-6-[(2-methylthieno[3,2-b]pyridin-7-yl)oxy]- (9CI) (CA INDEX NAME)



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:719486 CAPLUS

DN 139:246009

TI Preparation of thienopyridinylaminoindolylureas as antiangiogenic agents

IN Kania, Robert Steven; Romines, William Henry, III; Cripps, Stephan James; He, Mingying; Lou, Jihong; Zhou, Ru

PA Pfizer Inc., USA

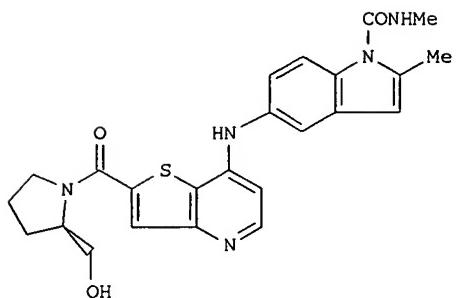
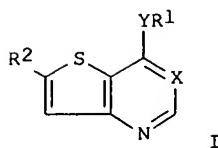
SO PCT Int. Appl., 163 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003074529	A2	20030912	WO 2003-IB740	20030217	
	WO 2003074529	A3	20031224			
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004019065	A1	20040129	US 2003-371337	20030221	
PRAI	US 2002-360952P	P	20020301			
OS	MARPAT	139:246009				
GI						



AB Title compds. I [ X = CH, N; Y = Nh, O, S, CH2; R1 = H, (un)substituted alkyl, cycloalkyl, acyl, aryl, heterocyclic; R2 = H, (un)substituted alkyl, cycloalkyl, CONH2, aroyl, aryl, aralkyl, heterocyclic, heterocyclalkyl, NH2, SO2NH2, CO2H] were prepared for use in treating hyperproliferative disorders in a mammal. Thus, the title compound II was prepared from the **thienopyridine** and aminoindolecarboxamide fragments and has Ki = 0.69 nM for inhibition of a VEGF-R2 construct.

IT 596793-65-6P 596793-66-7P 596793-68-9P

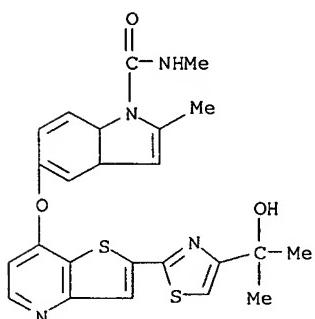
596793-70-3P 596793-71-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of **thienopyridinylaminoindolylureas** as antiangiogenic agents)

RN 596793-65-6 CAPLUS

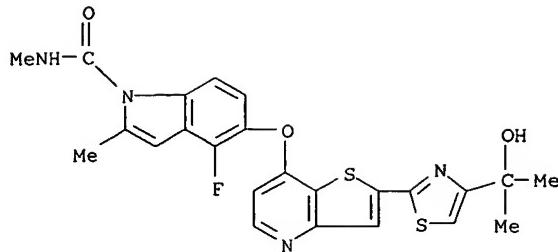
CN 1H-Indole-1-carboxamide, 3a,7a-dihydro-5-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)



RN 596793-66-7 CAPLUS

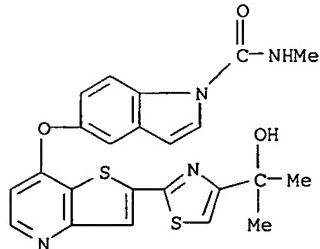
CN 1H-Indole-1-carboxamide, 4-fluoro-5-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N,2-dimethyl- (9CI) (CA INDEX NAME)

10634162



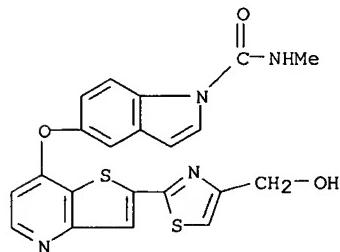
RN 596793-68-9 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[(2-[4-(1-hydroxy-1-methylethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)



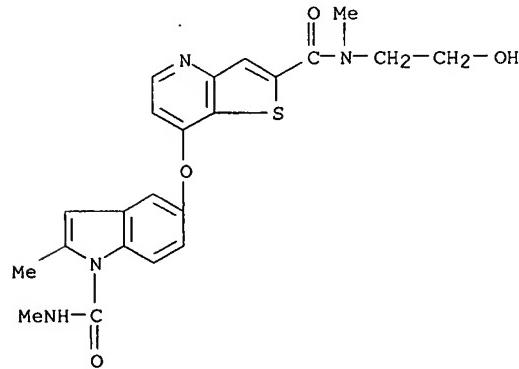
RN 596793-70-3 CAPLUS

CN 1H-Indole-1-carboxamide, 5-[(2-[4-(hydroxymethyl)-2-thiazolyl]thieno[3,2-b]pyridin-7-yl)oxy]-N-methyl- (9CI) (CA INDEX NAME)

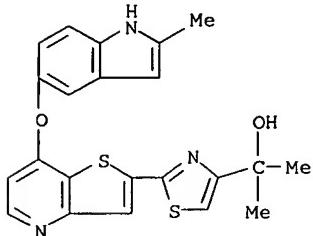


RN 596793-71-4 CAPLUS

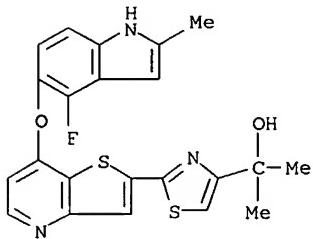
CN Thieno[3,2-b]pyridine-2-carboxamide, N-(2-hydroxyethyl)-N-methyl-7-[(2-methyl-1-{(methylamino)carbonyl}-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)



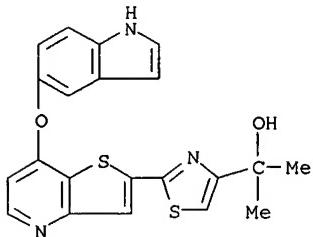
IT 481668-22-8 596793-67-8 596793-69-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (preparation of thienopyridinylaminoindolylureas as antiangiogenic agents)  
 RN 481668-22-8 CAPLUS  
 CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



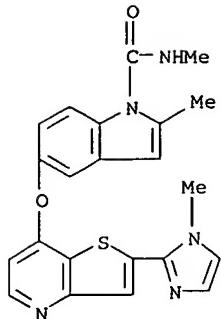
RN 596793-67-8 CAPLUS  
 CN 4-Thiazolemethanol, 2-[7-[(4-fluoro-2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]- $\alpha,\alpha$ -dimethyl- (9CI) (CA INDEX NAME)



RN 596793-69-0 CAPLUS  
 CN 4-Thiazolemethanol, 2-[7-(1H-indol-5-yloxy)thieno[3,2-b]pyridin-2-yl]- $\alpha,\alpha$ -dimethyl- (9CI) (CA INDEX NAME)



IT 596794-97-7P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of thienopyridinylaminoindolylureas as antiangiogenic agents)  
 RN 596794-97-7 CAPLUS  
 CN 1H-Indole-1-carboxamide, N,2-dimethyl-5-[[2-(1-methyl-1H-imidazol-2-yl)thieno[3,2-b]pyridin-7-yl]oxy]- (9CI) (CA INDEX NAME)



L16 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 2003:5724 CAPLUS

DN 138:73262

TI Preparation of thienopyridines and thienopyrimidines as anticancer agents

IN Marx, Matthew A.; Luzzio, Michael J.; Autry, Christopher L.

PA Pfizer Inc., USA

SO PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003000194	A2	20030103	WO 2002-US19830	20020620
	WO 2003000194	A3	20040129		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2001-299879P P 20010621

OS MARPAT 138:73262

GI



AB Title compds. [I, II; R1 = H, A, COA, (R5-substituted) Ar, het; A = alkyl; Het = heterocyclyl; Ar = aryl; R5 = halo, CN, NO2, OCF3, CF3, N3, COR8, CO2R8, O2CR8, OCO2R8, NR6COR7, NR6R7, OR9, SO2NR6R7, A, (CH2)tO(CH2)qOR9, (CH2)tOR9, S(O)jA, (CH2)tAr, (CH2)tHet, CO(CH2)tAr, (CH2)tO(CH2)jAr, (CH2)tO(CH2)qHet, CO(CH2)tHet, (CH2)jNR7(CH2)qNR6R7, (CH2)jNR7CH2C(O)NR6R7, (CH2)jNR7(CH2)tO(CH2)qOR9, (CH2)jNR7(CH2)qS(O)jA, (CH2)jNR7(CH2)tR6, SO2(CH2)tAr, SO2(CH2)tHet, etc.; j = 0-2; t = 0-6; q = 2-6; A, Ar, Het of R5 are optionally substituted by 1-3 halo, CN, NO2, CF3, N3, COR8, CO2R8, OCO2R8, NR6COR7, (CH2)tNR6R7, A, (CH2)tAr, (CH2)tHet, etc.; R6, R7 = H, A, (CH2)tAr, (CH2)tHet, (CH2)tO(CH2)qOR9, (CH2)tOR9; the A, Ar, Het of R6, R7 are optionally substituted by 1-3 halo, CN, NO2, CF3, N3, COR8, CO2R8, OCO2R8, NR6COR7, CONR9R10, NR9R10, A, (CH2)tAr, (CH2)tHet, (CH2)tOR9, etc.; R8 = H, A, (CH2)tAr, (CH2)tHet; t = 0-6; R9, R10 = H, Ar; R11 = H, A, CONR12R13, COAr, (CH2)tAr, (CH2)tHet, (CH2)tNR12R13, SO2NR12R13, CO2R12, A, COAr, (CH2)tAr, and (CH2)tHet are optionally substituted by 1-5 R5; R12, R13 = H, A, (CH2)t(cycloalkyl), (CH2)tAr, (CH2)tHet, (CH2)tO(CH2)qOR9, (CH2)tOR9, A, Ar, Het are

optionally substituted by 1-3 R5; R12R13N = (R5-substituted) azabicyclic, aziridinyl, azetidinyl, pyrrolidinyl, piperidinyl, piperazinyl, (thio)morpholinyl, (dihydro)isoquinolinyl, were prepared (no data). Thus, Cs2CO3, (3R)-[7-chlorothieno[3,2-b]pyridin-2-yl](3-methoxypyrrolidin-1-yl)methanone (preparation given), and 2-methyl-1H-indol-5-ol (preparation given) in DMF were heated at 90° for 20 h to give (3R)-(3-methoxypyrrolidin-1-yl)[7-(2-methyl-1H-indol-5-yloxy)thieno[3,2-b]pyridin-2-yl]methanone.

IT 481668-20-6P 481668-21-7P 481668-22-8P

481668-23-9P 481668-24-0P 481668-25-1P

481668-26-2P 481668-27-3P 481668-28-4P

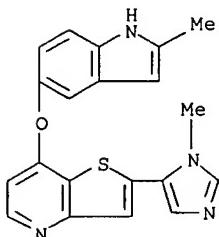
481668-29-5P 481668-30-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of thienopyridines and thienopyrimidines as anticancer agents)

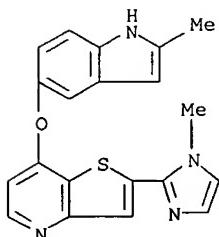
RN 481668-20-6 CAPLUS

CN Thieno[3,2-b]pyridine, 2-(1-methyl-1H-imidazol-5-yl)-7-[(2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)



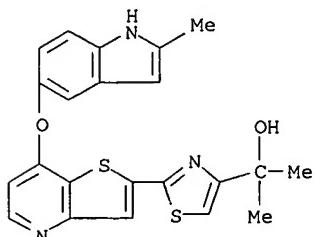
RN 481668-21-7 CAPLUS

CN Thieno[3,2-b]pyridine, 2-(1-methyl-1H-imidazol-2-yl)-7-[(2-methyl-1H-indol-5-yl)oxy]- (9CI) (CA INDEX NAME)



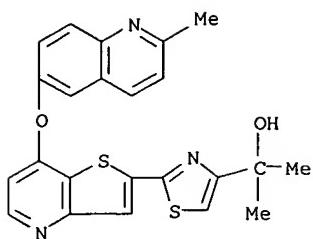
RN 481668-22-8 CAPLUS

CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)

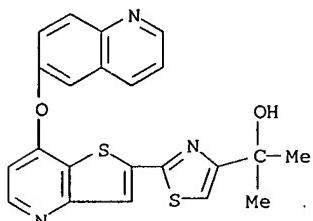


RN 481668-23-9 CAPLUS

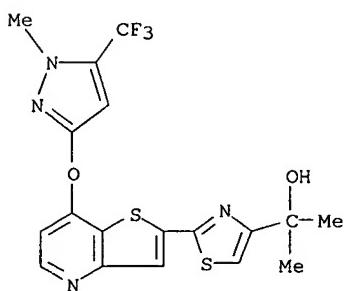
CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(2-methyl-6-quinolinyl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



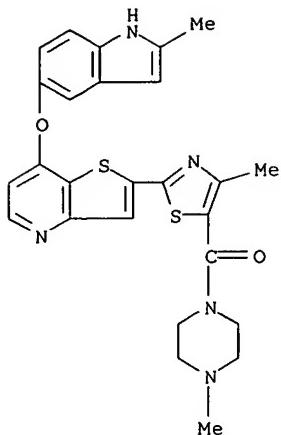
RN 481668-24-0 CAPLUS  
 CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-(6-quinolinyl oxy)thieno[3,2-b]pyridin-2-yl]- (9CI) (CA INDEX NAME)



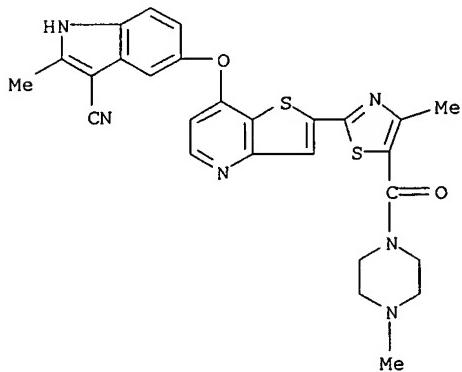
RN 481668-25-1 CAPLUS  
 CN 4-Thiazolemethanol,  $\alpha,\alpha$ -dimethyl-2-[7-[(1-methyl-5-(trifluoromethyl)-1H-pyrazol-3-yl)oxy]thieno[3,2-b]pyridin-2-yl]- (9CI)  
 (CA INDEX NAME)



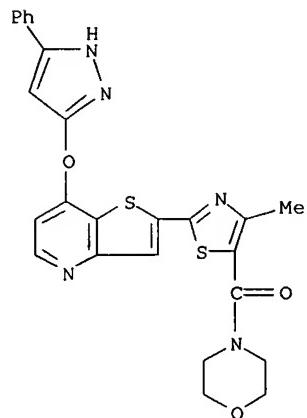
RN 481668-26-2 CAPLUS  
 CN Piperazine, 1-methyl-4-[[4-methyl-2-[7-[(2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]-5-thiazolyl]carbonyl]- (9CI) (CA INDEX NAME)



RN 481668-27-3 CAPIUS  
 CN Piperazine, 1-[{2-[7-[(3-cyano-2-methyl-1H-indol-5-yl)oxy]thieno[3,2-b]pyridin-2-yl]-4-methyl-5-thiazolyl}carbonyl]-4-methyl- (9CI) (CA INDEX NAME)



RN 481668-28-4 CAPIUS  
 CN Morpholine, 4-{[4-methyl-2-[7-[(5-phenyl-1H-pyrazol-3-yl)oxy]thieno[3,2-b]pyridin-2-yl]-5-thiazolyl]carbonyl}- (9CI) (CA INDEX NAME)

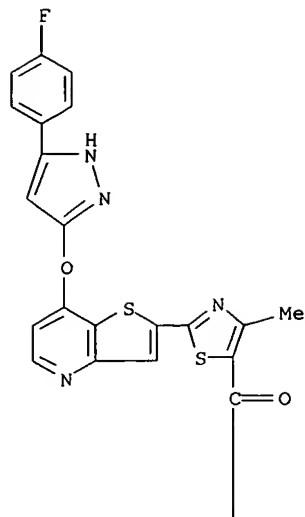


RN 481668-29-5 CAPIUS  
 CN Piperazine, 1-[{2-[7-[(5-(4-fluorophenyl)-1H-pyrazol-3-yl)oxy]thieno[3,2-b]pyridin-2-yl]-4-methyl-5-thiazolyl}carbonyl]-4-methyl- (9CI) (CA INDEX NAME)

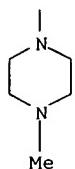
10634162

NAME)

PAGE 1-A

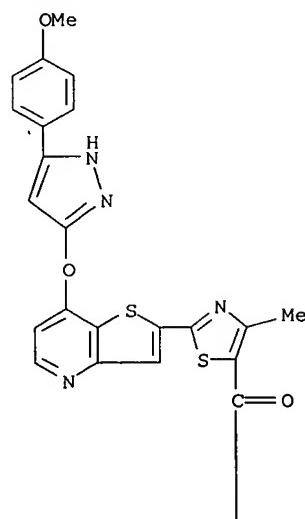


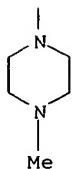
PAGE 2-A



RN 481668-30-8 CAPLUS  
CN Piperazine, 1-[2-{7-[(5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy}thieno[3,2-b]pyridin-2-yl]-4-methyl-5-thiazolyl]carbonyl]-4-methyl- (9CI) (CA INDEX  
NAME)

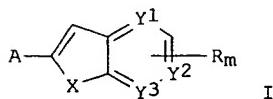
PAGE 1-A



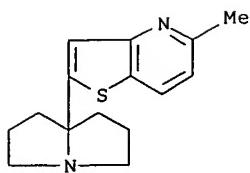


L16 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
 AN 1998:708828 CAPLUS  
 DN 129:330718  
 TI **Furopyridine, thienopyridine, pyrrolopyridine and related pyrimidine, pyridazine and triazine compounds useful in controlling chemical synaptic transmission**  
 IN Elliott, Richard L.; Ryther, Keith B.; Holladay, Mark W.; Wasakiak, James T.; Daanen, Jerome F.; Lin, Nan-horng; Dart, Michael J.; He, Yun; Li, Yihong  
 PA Abbott Laboratories, USA  
 SO PCT Int. Appl., 132 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9846609	A1	19981022	WO 1998-US7128	19980410
	W: CA, JP, MX				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 6001849	A	19991214	US 1997-834053	19970411
	EP 973777	A1	20000126	EP 1998-913418	19980410
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001521523	T2	20011106	JP 1998-544055	19980410
	MX 9909239	A	20000331	MX 1999-9239	19991008
PRAI	US 1997-834053	A	19970411		
	US 1995-1619P	P	19950728		
	US 1996-679237	B2	19960723		
	WO 1998-US7128	W	19980410		
OS	MARPAT	129:330718			
GI					



AB Heterocyclic ether compds. I [A = N heterocycle; X = O, S, NR3 where R3 = H, alkyl; m = 0-3; Y1, Y2, Y3 = N, CN and at least one must be N], which are useful in selectively controlling chemical synaptic transmission, were prepared E.g., reaction of 2-iodo-3-hydroxypyridine and N-2-propynylpyrrolidine in presence of bis(triphenylphosphine)palladium dichloride, CuI, and Et3N in DMF gave 72% 1-pyrrolidinylmethyl-2-furo[3,2-b]pyridine. The nicotinic acetylcholine receptor binding potencies of I were determined  
 IT 188056-43-1P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of furopyridine, thienopyridine, pyrrolopyridine, and related compds. useful in controlling chemical synaptic transmission)  
 RN 188056-43-1 CAPLUS  
 CN Thieno[3,2-b]pyridine, 5-methyl-2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)-(9CI) (CA INDEX NAME)

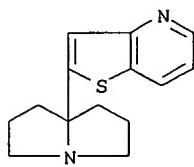


IT 188056-38-4P 188056-44-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of fuopyridine, **thienopyridine**, pyrrolopyridine, and related compds. useful in controlling chemical synaptic transmission)

RN 188056-38-4 CAPLUS

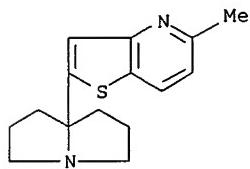
CN Thieno[3,2-b]pyridine, 2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 188056-44-2 CAPLUS

CN Thieno[3,2-b]pyridine, 5-methyl-2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)-, dihydrochloride (9CI) (CA INDEX NAME)



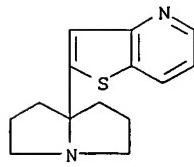
●2 HCl

IT 188056-37-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of fuopyridine, **thienopyridine**, pyrrolopyridine, and related compds. useful in controlling chemical synaptic transmission)

RN 188056-37-3 CAPLUS

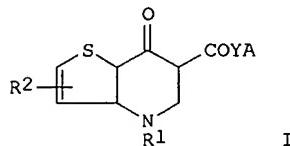
CN Thieno[3,2-b]pyridine, 2-(tetrahydro-1H-pyrrolizin-7a(5H)-yl)- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

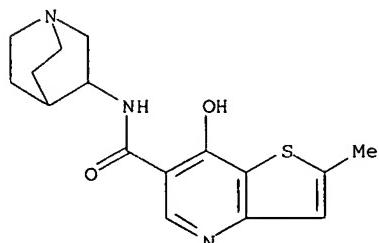
L16 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1994:134449 CAPLUS  
DN 120:134449  
TI Preparation of thieno[3,2-b]pyridine derivatives for the treatment of  
gastrointestinal disorders  
IN Maruyama, Akira; Ogawa, Shigeru; Yamazaki, Satoshi; Tobe, Akihiro  
PA Mitsubishi Kasei Corp., Japan  
SO Eur. Pat. Appl., 24 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 560348	A1	19930915	EP 1993-103899	19930310
	EP 560348	B1	20020710		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE JP 05310747	A2	19931122	JP 1993-22851	19930210
	JP 2699794	B2	19980119		
	AT 220403	E	20020715	AT 1993-103899	19930310
	PT 560348	T	20021129	PT 1993-103899	19930310
	ES 2179826	T3	20030201	ES 1993-103899	19930310
	CA 2091506	AA	19930913	CA 1993-2091506	19930311
	CA 2091506	C	20010529		
	US 5352685	A	19941004	US 1993-29551	19930311
PRAI	JP 1992-53864	A	19920312		
OS	MARPAT 120:134449				
GI					



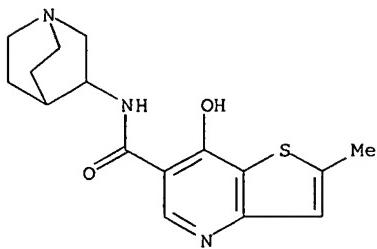
AB Title compds. I (Y = O, R3N wherein R3 = C1-6 alkyl; R1 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, C3-8 cycloalkyl, C6-12 aryl, C7-18 aralkyl; R2 = H, C1-6 alkyl, halo, HO, C1-6 alkoxy, H2N, C1-6 alkyl, halo, C1-6 alkoxy, H2N, O2N, HS, Cl-6 alkylthio; A = (substituted heterocycl) or a salt thereof, are prepared. I are claimed also for treatment of anxiety and (or) neurosis and arrhythmia (no data). To DMF were added 4,7-dihydro-4-methyl-7-oxothieno[3,2-b]pyridine-6-carboxylic acid and N,N'-carbonyldiimidazole followed by (endo)-8-methyl-8-azabicyclo[3.2.1]oct-3-ylamine in DMF to give endo-I (R1 = Me, R2 = H, Y = NH A = 8-methyl-8-azabicyclo[3.2.1]oct-3-yl) and converted to the HCl salt (II). In test for promoting action of gastric emptying, II or 1 mg/kg p.o showed 140%.

IT 152995-95-4P 152996-12-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, for treatment of gastrointestinal disorders)  
RN 152995-95-4 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



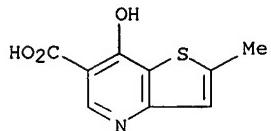
10634162

RN 152996-12-8 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-7-hydroxy-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

IT 152996-02-6  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, in preparation of drug for treatment of gastrointestinal disorders)  
RN 152996-02-6 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



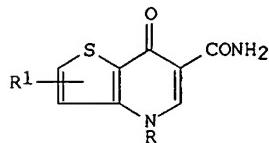
L16 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1988:549506 CAPLUS  
DN 109:149506  
TI Preparation of thienopyridinecarboxamide derivatives as cardiovascular agents and formulations containing them  
IN Davies, Roy Victor  
PA Boots Co. PLC, UK  
SO Eur. Pat. Appl., 18 pp.  
CODEN: EPXXDW

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 269295	A1	19880601	EP 1987-309788	19871105
	EP 269295	B1	19910626		
	R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	ZA 8708306	A	19880629	ZA 1987-8306	19871105
	AT 64736	E	19910715	AT 1987-309788	19871105
	ES 2038188	T3	19930716	ES 1987-309788	19871105
	FI 8705020	A	19880521	FI 1987-5020	19871113
	DK 8705998	A	19880521	DK 1987-5998	19871116
	IL 84505	A1	19901223	IL 1987-84505	19871117
	DD 282690	A5	19900919	DD 1987-309168	19871118
	NO 8704834	A	19880524	NO 1987-4834	19871119
	JP 63141984	A2	19880614	JP 1987-293042	19871119
	HU 47289	A2	19890228	HU 1987-5137	19871119
	HU 198060	B	19890728		
	US 4877793	A	19891031	US 1987-122394	19871119
	AU 8781440	A1	19880526	AU 1987-81440	19871120
	AU 599721	B2	19900726		
	CN 87107975	A	19880601	CN 1987-107975	19871120
	CN 1019491	B	19921216		

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PL 149617	B1 19900331	PL 1987-268925	19871120
PRAI GB 1986-27698	19861120		
EP 1987-309788	19871105		
OS MARPAT 109:149506			
GI			



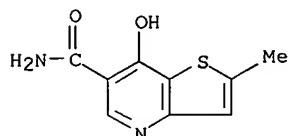
AB Title compds. I [R = lower alkyl; R1 = H, lower alkyl, alkoxy, halo, CF<sub>3</sub>, (substituted) Ph], useful as cardiovascular agents, were prepared. Methylation of Et 7-hydroxythieno[3,2-b]pyridine-6-carboxylate, followed by amidation using aqueous NH<sub>3</sub> gave I (R = Me, R1 = H) (II). At 30 mg/kg orally, II causes a min. significant reduction of blood pressure in spontaneously hypertensive rats. A tablet formulation containing II 100, lactose 100, starch 22, polyvinylpyrrolidone 10, and Mg stearate 3 weight parts was prepared.

IT 116623-95-1 116643-24-4

RL: RCT (Reactant); RACT (Reactant or reagent)  
(alkylation of)

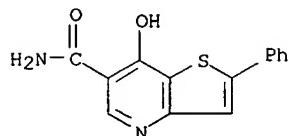
RN 116623-95-1 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxamide, 7-hydroxy-2-methyl- (9CI) (CA INDEX NAME)



RN 116643-24-4 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxamide, 7-hydroxy-2-phenyl- (9CI) (CA INDEX NAME)

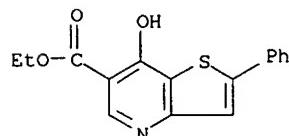


IT 116624-15-8P 116624-19-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of thienopyridinone cardiovascular agents)

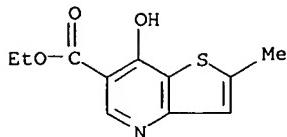
RN 116624-15-8 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 116624-19-2 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-methyl-, ethyl ester  
 (9CI) (CA INDEX NAME)



L16 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1986:608886 CAPLUS

DN 105:208886

TI Imidazolylthienopyridine derivatives as herbicides

IN Numata, Tatsuo; Hatanaka, Masataka; Watanabe, Junichi; Igai, Takashi; Nawamaki, Tsutomu

PA Nissan Chemical Industries, Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 26 pp.

CODEN: JKXXAF

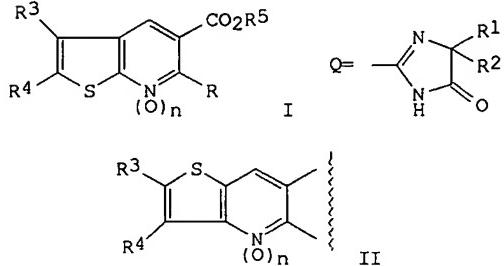
DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 61109790	A2	19860528	JP 1984-230874	19841031
PRAI	JP 1984-230874				
OS	CASREACT 105:208886				

GI



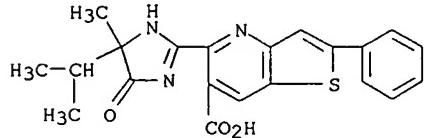
AB The title compds. [I and II; R = Q; R1 = alkyl; R2 = (cyclo)alkyl or R1R2 = (un)substituted alkylene; R3, R4 = H, halo, alkyl, (un)substituted Ph, etc. or R3R4 = (un)substituted alkylene; R5 = H, alkyl, etc.; n = 0,1] were prepared. Thus, anhydride formation of I (R = CO2H; R3 = R5 = H; R4 = Me; n=0) in Ac2O at 100° followed by the reaction of the resulting I (RR5 = CO; R3 = H; R4 = Me; n = O) with H2NCMe(CHMe2) gave I [R = CONHCMe(CHMe2)CONH2; R3 = R5 = H; R4 = Me; n = O] whose cyclization in NaOH/H2O at 70-80° for 4 h gave, after acidification, I (R = Q; R1 = R4 = Me; R2 = CHMe2; R3 = H; n = O). The prepared I and II at 0.8-3.2 g/are were effective against common weeds, e.g., Echinochloa crus-galli.

IT 105126-30-5P 105126-31-6P 105126-33-8P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)

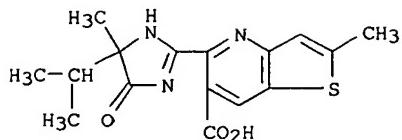
RN 105126-30-5 CAPLUS

CN Thieno[3,2-b]pyridine-6-carboxylic acid, 5-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-phenyl- (9CI) (CA INDEX NAME)

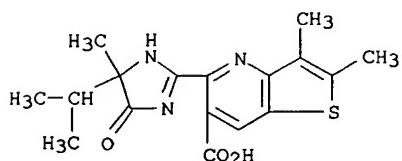


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RN 105126-31-6 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 5-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

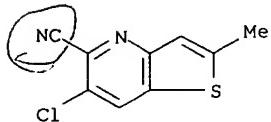


RN 105126-33-8 CAPLUS  
CN Thieno[3,2-b]pyridine-6-carboxylic acid, 5-[4,5-dihydro-4-methyl-4-(1-methylethyl)-5-oxo-1H-imidazol-2-yl]-2,3-dimethyl- (9CI) (CA INDEX NAME)



L16 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN  
AN 1986:460612 CAPLUS  
DN 105:60612  
TI (Tetrazolyl)thienopyridinones  
IN Wright, Terry L.  
PA Merrell Dow Pharmaceuticals, Inc., USA  
SO Eur. Pat. Appl., 16 pp.  
CODEN: EPXXDW  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 177924	A1	19860416	EP 1985-112689	19851007
	EP 177924	B1	19900221		
	R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
	US 4593099	A	19860603	US 1984-659397	19841010
	CA 1293257	A1	19911217	CA 1985-492239	19851004
	AT 50449	E	19900315	AT 1985-112689	19851007
	JP 61112081	A2	19860530	JP 1985-223880	19851009
	JP 06041469	B4	19940601		
PRAI	US 1984-659397		19841010		
	EP 1985-112689		19851007		
OS	CASREACT 105:60612				
GI	For diagram(s), see printed CA Issue.				
AB	Title compds. I (Z forms a fused thieno which can contain 1 or 2 Me groups) were prepared, and they showed anti-allergic activity.				
	Thienopyridinone derivative II (R1 = cyano), which was prepared from 3-acetamidothiophene in a series of reactions, was treated with NaN3 to give II (R1 = 1H-tetrazol-5-yl).				
IT	102902-34-1P				
	RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	102902-34-1 CAPLUS				
CN	Thieno[3,2-b]pyridine-5-carbonitrile, 6-chloro-2-methyl- (9CI) (CA INDEX NAME)				



L16 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2004 ACS on STN

ANSWER TO THE STATE

AN 1982.0101  
DN 97-216153

BN 371210155  
TI Thieno[3,2-b]pyridinecarboxylic acid derivatives

PA Kanebo Ltd. Japan

RA Kallebo, Ltd., Japan  
SO Jpn Kokai Tokkyo Koho, 7 pp

30 JPN. ROKAI TUE  
CODEN: JKXXAEE

CODEN: Patent

**Patent  
LA Japanese**

EA Japa  
EAN CNT 1

PATENT N

PATENT N  
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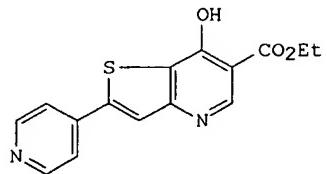
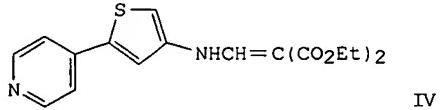
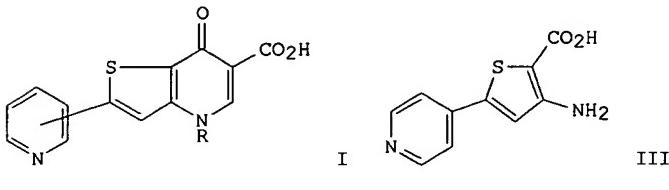
PT JP 57116

PRAT JP 1981-3457

OS

65  
GI

G1



AB Title derivs. I [4-pyridyl, R = Et (II); 4-pyridyl, R = H<sub>2</sub>C:CH; 3-pyridyl, R = Et] useful as bactericides (data given) were prepared. Thus, heating 21.6 g III with 21.3 g H<sub>2</sub>C:C(CO<sub>2</sub>Et)<sub>2</sub> in DMF 2 h at 150° gave 21.2 g IV, which (10 g) was stirred with 58 g Et polyphosphate 2.5 h at 120° to give 3.1 g V. Stirring 0.99 g V with 0.25 mL EtI in DMF in the presence of 0.16 g 50% oily NaH 3 h at 70° gave 0.36 g Et ester of II. Refluxing 1.4 g the ester in 10% aqueous KOH 1.5 h gave 0.8 g II.

IT 83739-52-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and dehydrogenation of)

(Preparation and dehydrogenation of)

RN 83739-32-0 CAPIUS  
CN Thieno[3,2-b]pyridi

CN 1Hetho[2,2-b]pyridine-6-carboxylic acid, 7-hydroxy-2-(4-pyridinyl)-, ethyl ester (9CI) (CA INDEX NAME)

